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* * * * * * * * * * Welcome to STN International
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                 FSTA enhanced with new thesaurus edition
NEWS 4 AUG 13
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                 patents
NEWS
         AUG 20
                 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS
        AUG 27
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                 patent family display formats from INPADOCDB
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         AUG 27
                 USPATOLD now available on STN
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                 spectral property data
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                 World Patents Index
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NEWS 11 SEP 13 INPADOCDB enhanced with monthly SDI frequency
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NEWS 13 SEP 17 CAplus coverage extended to include traditional medicine
                 patents
NEWS 14 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15 OCT 02 CA/Caplus enhanced with pre-1907 records from Chemisches
                 Zentralblatt
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NEWS 22 DEC 17 USPATOLD added to additional database clusters
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NEWS 25 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in
                 MEDLINE segment
NEWS 26 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
         DEC 17
NEWS 27
                 CA/CAplus enhanced with new custom IPC display formats
NEWS 28 DEC 17
                 STN Viewer enhanced with full-text patent content
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                 STN pricing information for 2008 now available
NEWS 30
         JAN 16
                CAS patent coverage enhanced to include exemplified
                 prophetic substances
NEWS 31 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new
```

custom IPC display formats

NEWS 32 JAN 28 MARPAT searching enhanced

NEWS 33 JAN 28 USGENE now provides USPTO sequence data within 3 days of publication

NEWS 34 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment NEWS 35 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

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SINCE FILE TOTAL ENTRY SESSION 0.46 0.67

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=>

Uploading C:\Program Files\Stnexp\Queries\10575534.str

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chain nodes :
10  11  13
ring nodes :
1  2  3  4  5  6  7  8  9
chain bonds :
4-10  6-11  8-13
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-9  4-5  5-6  7-8  8-9
exact/norm bonds :
1-2  1-6  2-3  2-7  3-4  3-9  4-5  4-10  5-6  6-11  7-8  8-9  8-13
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G1:0,S

10575534.trn

G2:0,N

Match level:

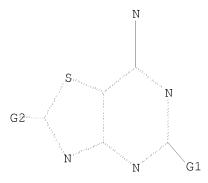
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 0,S

G2 O, N

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

SAMPLE SEARCH INITIATED 23:00:27 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 26 TO ITERATE

100.0% PROCESSED 26 ITERATIONS 25 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 215 TO 825 PROJECTED ANSWERS: 200 TO 800

L2 25 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 23:01:12 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 548 TO ITERATE

100.0% PROCESSED 548 ITERATIONS 530 ANSWERS

SEARCH TIME: 00.00.01

L3 530 SEA SSS FUL L1

=> fil capl
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 178.82 179.49

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=> s 13 L4 18 L3

=> d 14 ibib hitstr abs 1-18

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:477981 CAPLUS

DOCUMENT NUMBER: 147:95621

TITLE: SAR studies on thiazolo[4,5-d]pyrimidine based CXCR2

antagonists involving a novel tandem displacement

reaction

AUTHOR(S): Hunt, Fraser; Austin, Caroline; Austin, Rupert;

Bonnert, Roger; Cage, Peter; Christie, Jadeen; Christie, Mark; Dixon, Clare; Hill, Steven; Jewell, Robert; Martin, Ian; Robinson, David; Willis, Paul AstraZeneca R&D Charnwood, Loughborough, LE11 5RH, UK

CORPORATE SOURCE: AstraZeneca R&D Charnwood, Loughborough, LE11 5RH,

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007),

17(10), 2731-2734

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:95621

IT 259101-56-9

RL: PAC (Pharmacological activity); BIOL (Biological study) (preparation of thiazolo[4,5-d]pyrimidines as CXCR2 antagonists)

RN 259101-56-9 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

IT 259101-53-6P 259101-71-8P 259101-81-0P 333743-03-6P 333743-41-2P 333743-47-8P 333743-72-9P 333743-87-6P 354565-82-5P

333743-72-9P 333743-87-6P 354565-82-5P 354565-88-1P 676345-70-3P 942408-06-2P

942408-08-4P 942408-09-5P 942408-10-8P

942408-11-9P 942408-12-0P 942408-13-1P 942408-14-2P 942408-16-4P 942408-17-5P

942408-19-7P 942408-20-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of thiazolo[4,5-d]pyrimidines as CXCR2 antagonists)

RN 259101-53-6 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 259101-71-8 CAPLUS

1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[CN 4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

259101-81-0 CAPLUS RN

1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[CN 4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN

333743-03-6 CAPLUS 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-difluorophenyl]d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

10575534.trn

RN 333743-41-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-47-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 333743-72-9 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

10575534.trn

RN 333743-87-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-chlorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 354565-82-5 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(2-furanylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} O & CH_2-S & N & NH_2 \\ \hline & N & S & \\ \hline & NH-C-CH_2-OH \\ & Me & \\ \end{array}$$

RN 354565-88-1 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(2-furanylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 676345-70-3 CAPLUS

CN 1,3-Butanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 942408-06-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S)- (CA INDEX NAME)

RN 942408-08-4 CAPLUS
CN 1-Propanol, 2-[[2-(cyclopropylamino)-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 942408-09-5 CAPLUS
CN 1,3-Propanediol, 2-[[2-(cyclopropylamino)-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 942408-10-8 CAPLUS
CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(1-methylethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 942408-11-9 CAPLUS

CN 1-Butanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(1-methylethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 942408-12-0 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2- (methylamino)thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 942408-13-1 CAPLUS

CN 1-Propanol, 2-[[2-(diethylamino)-5-[[(2,3-difluorophenyl)methyl]thio]thiaz olo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 942408-14-2 CAPLUS

CN 1,3-Propanediol, 2-[[2-(diethylamino)-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 942408-16-4 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-fluorophenyl)methyl]thio]thiazolo[4,5-

d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 942408-17-5 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-chlorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 942408-19-7 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-chloro-2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

10575534.trn

RN 942408-20-0 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-dichlorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 259101-61-6 333742-99-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of thiazolo[4,5-d]pyrimidines as CXCR2 antagonists)

RN 259101-61-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \text{HO-CH}_2\text{-C-NH} \\ \\ \text{Me} & \\ \\ \text{N} & \\ \\ \text{Ph-CH}_2\text{-S} & \\ \\ \text{N} & \\ \end{array}$$

RN 333742-99-7 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 333743-71-8P 354565-89-2P 944703-70-2P

944703-83-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolo[4,5-d]pyrimidines as CXCR2 antagonists)

RN 333743-71-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 354565-89-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 944703-70-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[(2-hydroxy-1,1-dimethylethyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \text{HO-CH}_2 - \text{C} & \text{NH} \\ \\ \text{Me} & \text{N} & \\ \text{S} & \text{N} \\ \text{H} & \\ \end{array}$$

RN 944703-83-7 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB As part of a Lead Optimization program to identify small mol. antagonists of the human CXCR2 receptor, a series of substituted thiazolo[4,5-d]pyrimidines was prepared via the application of a novel tandem

displacement reaction. E.g., thiazolo[4,5-d]pyrimidine I was prepared from II via a tandem amination.

REFERENCE COUNT: 10

O THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 2 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN
T.4
                           2006:1066530 CAPLUS
ACCESSION NUMBER:
                            145:397542
DOCUMENT NUMBER:
                            Preparation of 5,7-disubstituted thiazolo[4,5-
TITLE:
                            d]pyrimidin-2(3H)-ones as chemokine CX3CR1 receptor
                            antagonists.
INVENTOR(S):
                            Nordvall, Gunnar; Ray, Colin; Rein, Tobias; Sohn,
                            Daniel
                            Astrazeneca AB, Swed.
PATENT ASSIGNEE(S):
SOURCE:
                            PCT Int. Appl., 74pp.
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                          KIND DATE
                                               APPLICATION NO.
                                                                          DATE
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     WO 2006107257
                                  20061012 WO 2006-SE398
                                                                          20060403
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              VN, YU, ZA, ZM, ZW
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              BA, HR, MK, YU
     IN 2007DN07177
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                                   20071005
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     KR 2008004484
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PRIORITY APPLN. INFO.:
                                                 SE 2005-768
                                                                      A 20050406
                                                                   W 20060403
                                                 WO 2006-SE398
OTHER SOURCE(S):
                          MARPAT 145:397542
     911715-50-9P 911715-51-0P 911715-52-1P
     911715-53-2P 911715-54-3P 911715-55-4P
     911715-56-5P 911715-57-6P 911715-58-7P
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     911715-62-3P 911715-63-4P 911715-64-5P
     911715-65-6P 911715-66-7P 911715-67-8P
     911715-68-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (claimed compound; preparation of thiazolopyrimidinones as chemokine CX3CR1
         receptor antagonists)
RN
     911715-50-9 CAPLUS
CN
     Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-
```

methylbutyl]amino]-5-[[(1R)-1-[3-(methylsulfonyl)phenyl]ethyl]thio]- (CA)

INDEX NAME)

Absolute stereochemistry.

RN 911715-51-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[1-[3-(trifluoromethyl)phenyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-52-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]- 5-[[(1S)-1-phenylethyl]thio]- (CA INDEX NAME)

RN 911715-53-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(1S)-1-[3-(methylsulfonyl)phenyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-54-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[1-[2-(trifluoromethyl)phenyl]ethyl]thio]- (CA INDEX NAME)

RN 911715-55-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]-5-[[(1S)-1-[3-(methylsulfonyl)phenyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-56-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(1-phenylethyl)thio]- (CA INDEX NAME)

RN 911715-57-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(1R)-1-phenylethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-58-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(1S)-1-phenylethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-59-8 CAPLUS

CN Benzonitrile, 3-[(1S)-1-[[2,3-dihydro-7-[[(1R)-1-(hydroxymethyl)butyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]-(CA INDEX NAME)

RN 911715-60-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethy1)-3-methylbuty1]amino]-5-[[1-[3-(methylsulfony1)pheny1]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-61-2 CAPLUS

CN Benzamide, 3-[(1S)-1-[[2,3-dihydro-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

RN 911715-62-3 CAPLUS

CN Benzamide, 3-[(1R)-1-[[2,3-dihydro-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-63-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(1-phenylpropyl)thio]- (CA INDEX NAME)

RN 911715-64-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-65-6 CAPLUS
CN Benzonitrile, 3-[(1S)-1-[[2,3-dihydro-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

RN 911715-66-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-3-fluoro-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-67-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)butyl]amino]- (CA INDEX NAME)

RN 911715-68-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(1S)-1-(3-fluorophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

IT 463954-32-7

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of thiazolopyrimidinones as chemokine CX3CR1 receptor antagonists)

RN 463954-32-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

10575534.trn

ΙT 849943-17-5P 849943-46-0P 849943-47-1P 911715-71-4P 911715-73-6P 911715-74-7P 911715-76-9P 911715-77-0P 911715-81-6P 911715-83-8P 911715-84-9P 911715-86-1P 911715-87-2P 911715-89-4P 911715-90-7P 911715-92-9P 911715-94-1P 911715-96-3P 911716-01-3P 911716-03-5P 911716-04-6P 911716-06-8P 911716-10-4P 911716-12-6P 911716-13-7P 911716-15-9P 911716-17-1P 911716-19-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of thiazolopyrimidinones as chemokine CX3CR1 receptor antagonists) 849943-17-5 CAPLUS RN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-CN yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-46-0 CAPLUS
CN 1-Pentanol, 2,2'-[dithiobis[(2-methoxythiazolo[4,5-d]pyrimidine-5,7-diyl)imino]]bis[4-methyl-, (2R,2'R)- (9CI) (CA INDEX NAME)

RN 849943-47-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5,5'-dithiobis[7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-71-4 CAPLUS CN 1-Pentanol, 2-[[2-amino-5-[[(1R)-1-[3-(methylsulfonyl)phenyl]ethyl]thio]th iazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 911715-73-6 CAPLUS

CN 1-Pentanol, 2-[[2-methoxy-5-[[(1R)-1-[3-(methylsulfonyl)phenyl]ethyl]thio] thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-74-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)butyl]amino]- (CA INDEX NAME)

RN 911715-76-9 CAPLUS

CN 1-Pentanol, 2,2'-[dithiobis[(2-methoxythiazolo[4,5-d]pyrimidine-5,7-diyl)imino]]bis-, (2R,2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-77-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5,5'-dithiobis[7-[[(1R)-1-(hydroxymethyl)butyl]amino]- (9CI) (CA INDEX NAME)

RN 911715-81-6 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-[3-(methylsulfonyl)phenyl]ethyl]thio]th iazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-83-8 CAPLUS

CN 1-Pentanol, 2-[[2-methoxy-5-[[(1S)-1-[3-(methylsulfonyl)phenyl]ethyl]thio] thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-84-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(1-phenylethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 911715-86-1 CAPLUS

CN 1-Pentanol, 2-[[2-methoxy-5-[(1-phenylethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-87-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1R)-1-phenylethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-89-4 CAPLUS

CN 1-Pentanol, 2-[[2-methoxy-5-[[(1R)-1-phenylethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 911715-90-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-phenylethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-92-9 CAPLUS

CN 1-Pentanol, 2-[[2-methoxy-5-[[(1S)-1-phenylethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-94-1 CAPLUS

CN Benzonitrile, 3-[(1S)-1-[[2-amino-7-[[(1R)-1-(hydroxymethyl)butyl]amino]th iazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

RN 911715-96-3 CAPLUS

CN Benzonitrile, 3-[(1S)-1-[[7-[[(1R)-1-(hydroxymethyl)butyl]amino]-2-methoxythiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911716-01-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 911716-03-5 CAPLUS

CN 1-Pentanol, 2-[[5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911716-04-6 CAPLUS

CN Benzonitrile, 3-[(1S)-1-[[2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

RN 911716-06-8 CAPLUS

CN Benzonitrile, 3-[(1S)-1-[[7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-2-methoxythiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911716-10-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-fluoro-4-methyl-, (2R)- (CA INDEX NAME)

RN 911716-12-6 CAPLUS

CN 1-Pentanol, 4-fluoro-2-[[5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911716-13-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 911716-15-9 CAPLUS

CN 1-Pentanol, 2-[[5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911716-17-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-(3-fluorophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 911716-19-3 CAPLUS

CN 1-Pentanol, 2-[[5-[[(1S)-1-(3-fluorophenyl)ethyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

GΙ

$$R5$$
 $R4$
 $R3N$
 N
 $R1$
 $R2$
 $R2$

AB Title compds. (I; R1 = Me, Et; R2 = H, 3-cyano, 2-CF3, 2-F, 3-F, 3-CONH2, 3-SO2Me; R3 = H; R4 = H, Me; R5 = H, F), were prepared Thus, 7-[[(1R)-1-(hydroxymethy1)-3-methylbuty1]amino]-5-[(1-phenylethy1)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one [prepared in 67% yield from (2R)-2-[(2-amino-5-mercaptothiazolo[4,5-d]pyrimidin-7-y1)amino]-4-methylpentan-1-ol and (1-bromoethyl)benzene] showed antagonism at the CX3CR1 receptor with Ki = 1.3 nM.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
     ANSWER 3 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN
                            2006:1065985 CAPLUS
ACCESSION NUMBER:
                             145:419167
DOCUMENT NUMBER:
                             Preparation of 5-substituted 7-aminothiazolo[4,5-
TITLE:
                             d]pyrimidines as chemokine CX3CR1 receptor
                             antagonists.
                             Nordvall, Gunnar; Ray, Colin; Rein, Tobias; Sohn,
INVENTOR(S):
                             Daniel
PATENT ASSIGNEE(S):
                             Astrazeneca AB, Swed.
                             PCT Int. Appl., 56pp.
SOURCE:
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
LANGUAGE:
                             English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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                           KIND DATE
                                                 APPLICATION NO.
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     WO 2006107258
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                                                                       A 20050406
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                                     20080109
PRIORITY APPLN. INFO.:
                                                   SE 2005-767
                                                                     W 20060403
                                                   WO 2006-SE399
OTHER SOURCE(S):
                           MARPAT 145:419167
     911715-81-6P 911715-84-9P 911715-87-2P
     911715-90-7P 911715-94-1P 911716-01-3P
     911716-04-6P 911716-10-4P 911716-13-7P
     911716-17-1P 911819-84-6P 911819-87-9P
     911819-89-1P 911819-91-5P 911819-93-7P
     911819-95-9P 911819-97-1P 911820-00-3P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
         (claimed compound; preparation of aminothiazolopyrimidines as chemokine
CX3CR1
         receptor antagonists)
RN
     911715-81-6 CAPLUS
     1-Pentanol, 2-[[2-amino-5-[[(1S)-1-[3-(methylsulfonyl)phenyl]ethyl]thio]th
     iazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)
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Absolute stereochemistry.

RN 911715-84-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(1-phenylethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-87-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1R)-1-phenylethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 911715-90-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-phenylethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-94-1 CAPLUS

CN Benzonitrile, 3-[(1S)-1-[[2-amino-7-[[(1R)-1-(hydroxymethyl)butyl]amino]th iazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911716-01-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 911716-04-6 CAPLUS

CN Benzonitrile, 3-[(1S)-1-[[2-amino-7-[[(1R)-1-(hydroxymethy1)-3-methylbuty1]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911716-10-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-fluoro-4-methyl-, (2R)- (CA INDEX NAME)

RN 911716-13-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911716-17-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-(3-fluorophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 911819-84-6 CAPLUS
CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-phenylethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911819-87-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(1-phenylpropyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911819-89-1 CAPLUS CN Benzamide, 3-[1-[[2-amino-7-[[(1R)-1-(hydroxymethyl)-3methylbutyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911819-91-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[1-[3-(trifluoromethyl)phenyl]ethyl]thio]thiazo lo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911819-93-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(1-phenylethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 911819-95-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[1-(2-chlorophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911819-97-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[1-(3-methoxyphenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

10575534.trn

RN 911820-00-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(1S)-1-phenylethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-fluoro-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 463954-32-7

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of aminothiazolopyrimidines as chemokine CX3CR1 receptor antagonists)

RN 463954-32-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

IT 849942-77-4P 849942-84-3P 849943-17-5P

911715-74-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminothiazolopyrimidines as chemokine ${\tt CX3CR1}$ receptor antagonists)

RN 849942-77-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

10575534.trn

RN 849942-84-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]methylamino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-17-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 911715-74-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)butyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

GΙ

$$R5$$
 $R4$
 $R3N$
 N
 $R1$
 $R2$
 $R2$

AB Title compds. (I; R1 = Me, Et; R2 = H, 2-F, 2-C1, 3-F, 3-OMe, 3-cyano, 3-CF3, 3-CONH2, 3-SO2Me; R3,R4 = H, Me; R5 = H, F), were prepared Thus, (2R)-2-[(2-amino-5-mercaptothiazolo[4,5-d]pyrimidin-7-yl)amino]-4-methylpentan-4-ol was coupled with (1-chloropropyl)benzene to give (2R)-2-[[2-amino-5-[(1-phenylpropyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol. The latter bound to CX3CR1 receptors with Ki = 3.1 nM.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1005627 CAPLUS

DOCUMENT NUMBER: 145:369821

TITLE: Screening for allosteric modulators of class A G

protein-coupled receptors

INVENTOR(S): Grahames, Caroline; Mallinder, Philip; Mcintosh,

Fraser; Tomkinson, Nicholas; Wright, Tracey

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 217pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.					D	DATE		APPLICATION NO.					DATE				
WO	WO 2006101439				A1 20060928			WO 2006-SE355					20060322					
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		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	ТJ,	TM											
EP	EP 1864136					A1 20071212				EP 2006-717040				20060322				
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR		
PRIORITY	PRIORITY APPLN. INFO.:								SE 2005-668 WO 2006-SE355									

IT 333742-45-3 333742-46-4 333742-63-5

RL: BSU (Biological study, unclassified); BIOL (Biological study) (screening for allosteric modulators of class A G protein-coupled receptors)

RN 333742-45-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)propyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

RN 333742-46-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-63-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-2-fluoropheny1)methy1]thio]-7-[[(1R)-2-hydroxy-1-methylethy1]amino]- (CA INDEX NAME)

Absolute stereochemistry.

AB The present invention is based on the identification of a binding site for small mol. weight compds. on the intracellular side of CXCR2, a G protein-coupled receptor. Domain swap expts. and site-directed mutagenesis methods in conjunction with homol. modeling approach identify specific a domain (residues 304-326) and amino acids (Lys-320 in CXCR2 and Arg-310 in CXCR1) in mediating binding of inhibitors from different series of small mol. antagonists. Compds. binding CXCR2 at this cytoplasmic site inhibit the binding of interleukin-8 to CXCR2 at an extracellular site via an allosteric mechanism. By alignment and homol. modeling, the intracellular binding site is predicted to be present in all class A G protein-coupled receptors. The elucidation of this novel binding site facilitates designing or identifying specific and potent inhibitory small mol. compds. for therapeutic purposes, including and assays (such as competitive binding assays).

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10575534.trn

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:16623 CAPLUS

DOCUMENT NUMBER: 144:184021

TITLE: Hit-to-Lead studies: The discovery of potent, orally

bioavailable thiazolopyrimidine CXCR2 receptor

antagonists

AUTHOR(S): Baxter, Andrew; Cooper, Anne; Kinchin, Elizabeth;

Moakes, Kerry; Unitt, John; Wallace, Alan

CORPORATE SOURCE: AstraZeneca R&D Charnwood, Loughborough, LE11 5RH, UK

SOURCE: Bioorg. Med. Chem. Lett. (2006), 16(4), 960-963

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

IT 259100-40-8 259100-45-3 259100-69-1 259100-74-8 259101-51-4 259101-52-5 259101-53-6 259101-55-8 874963-36-7

874963-40-3

RL: PAC (Pharmacological activity); BIOL (Biological study)

(discovery of potent, orally bioavailable thiazolopyrimidine ${\tt CXCR2}$

receptor antagonists)

RN 259100-40-8 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259100-45-3 CAPLUS

CN Ethanol, 2-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-(CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{HO-CH_2-CH_2-NH} & & \operatorname{N} \\ & & & \\ \operatorname{Me-} (\operatorname{CH_2})_4 - \operatorname{S} & \operatorname{N} \end{array}$$

RN 259100-69-1 CAPLUS

CN 1-Propanol, 3-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-(CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO- (CH}_2)_3 - \text{NH} \\ & & \\ & & \\ \text{Me- (CH}_2)_4 - \text{S} & \text{N} \end{array}$$

RN 259100-74-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-cyclopentyl-5-(pentylthio)- (CA INDEX NAME)

Me- (CH₂)
$$_4$$
-S N

RN 259101-51-4 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-52-5 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S)- (CA INDEX NAME)

RN 259101-53-6 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-55-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 874963-36-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N,N-diethyl-5-(pentylthio)- (9CI)

10575534.trn

(CA INDEX NAME)

RN 874963-40-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[(phenylmethyl)thio]- (CA INDEX NAME)

IT 259100-39-5 259101-56-9

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Biological study)

(discovery of potent, orally bioavailable thiazolopyrimidine CXCR2 receptor antagonists)

RN 259100-39-5 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-56-9 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

GI

AB A Hit-to-Lead optimization program was carried out on a high throughput screening hit, the thiazolopyrimidine (I), resulting in the discovery of the potent, orally bioavailable CXCR2 antagonist II.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10575534.trn ANSWER 6 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN T.4 ACCESSION NUMBER: 2005:547606 CAPLUS DOCUMENT NUMBER: 143:78206 Process for preparation of 5-difluorobenzylthio-7-TITLE: aminothiazolo[4,5-d]pyrimidin-2(3H)-ones via protection and amination reactions. INVENTOR(S): Butters, Michael; Wisedale, Richard; Thomson, Colin; Welham, Matthew James; Watts, Andrew Astrazeneca AB, Swed.; Astrazeneca UK Limited PATENT ASSIGNEE(S): PCT Int. Appl., 25 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE ____ _____ _____ A2 WO 2004-GB5072 20041202 WO 2005056563 20050623 20050825 АЗ WO 2005056563 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004296241 20050623 AU 2004-296241 20041202 Α1 CA 2546719 Α1 20050623 CA 2004-2546719 20041202 EP 2004-801262 EP 1711505 Α2 20061018 20041202 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS CN 1914213 20070214 CN 2004-80041445 20041202 Α BR 2004017300 20070306 BR 2004-17300 Α 20041202 JP 2006-542009 JP 2007513131 Т 20070524 20041202 IN 2006DN02941 А 20070803 IN 2006-DN2941 20060522 MX 2006PA06148 А 20060719 MX 2006-PA6148 20060531 NO 2006003111 Α 20060905 NO 2006-3111 20060704 US 2007282103 A1 20071206 US 2007-581143 20070214 PRIORITY APPLN. INFO.: A 20031205 GB 2003-28243

OTHER SOURCE(S): MARPAT 143:78206

IT 676345-23-6P 855476-56-1P 855476-57-2P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

WO 2004-GB5072

W 20041202

(claimed compound; preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)

RN 676345-23-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI)
(CA INDEX NAME)

Na

RN 855476-56-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

K

RN 855476-57-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)

● K

IT 855476-59-4P 855476-60-7P 855476-62-9P 855476-63-0P

and amination reactions)

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of difluorobenzylthioaminothiazolopyrimidinones via protection

RN 855476-59-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[(1R)-2-hydroxy-1-methylethyl]amino]-3-(tetrahydro-2H-pyran-2-yl)- (CA
INDEX NAME)

Absolute stereochemistry.

RN 855476-60-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[(1R)-2-hydroxy-1-methylethyl]amino]-3-[2-(phenylsulfonyl)ethyl]- (CA
INDEX NAME)

RN 855476-62-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-3-[2-(phenylsulfonyl)ethyl]-7-[(2,2,5-trimethyl-1,3-dioxan-5-yl)amino]- (CA INDEX NAME)

RN 855476-63-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-3-[2(phenylsulfonyl)ethyl]- (CA INDEX NAME)

IT 333742-48-6P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)

RN 333742-48-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

GΙ

$$O = \bigvee_{\substack{N \\ N \\ H}}^{NR^2R^3} \bigvee_{SR^1} \bigcup_{I}^{L} \bigvee_{\substack{N \\ Q \\ N}}^{N} \bigcup_{SR^1}^{I} \bigcup_{I}^{I} \bigcup_{N}^{I} \bigcup_{SR^1}^{I} \bigcup_{I}^{I} \bigcup_{N}^{I} \bigcup_{N}^{$$

AB Title compds. I [R1 = (substituted) carbocyclyl, alkyl, alkenyl, alkynyl, aryl, heteroaryl; R2, R3 = H, (substituted) alkyl, carbocyclyl, alkenyl, alkynyl], were prepared by treatment of precursors II (R1 as above; L =

leaving group; Q = H) with a protecting reagent to give I; (R1, L as above; Q = protecting group), treatment of the latter with HNR2R3 (R2, R3 as above), and deprotection. Thus, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-2(3H)-one (preparation given) and p-TsOH in PhMe at 60° was treated with 3,4-dihydropyran over 1 h and maintained at 60° for 2 h. The mixture was cooled, stirred with aqueous NaHCO3 and then brine and the resulting solution was

with THF, Na2CO3, and D-alaninol followed by heating at 60° for 11.5 h and at 65° for 24 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-3-(tetrahydro-2H-pyran-2-yl)thiazolo[4,5-d]pyrimidin-2(3H)-one. The latter in MeCN/H2O/THF at 65° was treated with 1N HCl over 3 h to give <math display="inline">5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one.

ANSWER 7 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN T.4 ACCESSION NUMBER: 2005:324167 CAPLUS 142:392432 DOCUMENT NUMBER: Preparation of new 2-substituted-4-aminothiazolo[4,5-TITLE: d]pyrimidines and pteridinones useful as CX3CR1 chemokine receptor antagonists INVENTOR(S): Nordvall, Gunnar; Rein, Tobias; Sohn, Daniel; Zemribo, Ronald Astrazeneca AB, Swed. PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 71 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE _____ _____ ____ ______ A1 20050414 WO 2004-SE1421 WO 2005033115 20041005 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TI, TM, AT, BF, RG, CH, CV, CZ, DF, DV AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004278276 20050414 AU 2004-278276 20041005 Α1 AU 2004278276 В2 20071018 CA 2004-2541533 CA 2541533 Α1 20050414 20041005 EP 2004-775512 EP 1675862 Α1 20060705 20041005 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR CN 1856499 20061101 CN 2004-80027529 20041005 Α BR 2004015050 20061128 BR 2004-15050 Α 20041005 JP 2007507494 T 20070329 JP 2006-532235 20041005 MX 2006PA03792 Α 20060614 MX 2006-PA3792 20060404 US 2007142386 NO 2006002061 A1 20070621 US 2006-575534 20060407 Α 20060703 NO 2006-2061 20060508 A 20031007 PRIORITY APPLN. INFO.: SE 2003-2666 SE 2003-2667 A 20031007 WO 2004-SE1421 W 20041005 OTHER SOURCE(S): CASREACT 142:392432; MARPAT 142:392432 849942-71-8P, (2R)-2-[[2-Amino-5-(benzyloxy)[1,3]thiazolo[4,5d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol 849942-73-0P, (2R)-2-[[2-Amino-5-[(3-methoxybenzyl)oxy][1,3]thiazolo[4,5-d]pyrimidin-7v1] amino] -4-methylpentan-1-ol 849942-74-1P, (2R)-2-[[2-Amino-5-1]](2-phenylethoxy)[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1ol 849942-75-2P, (2R)-2-[[2-Amino-5-(2-P)]phenoxyethoxy)[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1ol 849942-76-3P, (2R)-2-[[2-Amino-5-[(methylbenzyl)oxy][1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4-

methylpentan-1-ol 849942-79-6P, (2R)-2-[[2-Amino-5-[(4-methylpentan-1-ol 849942-79-6P]]

chlorobenzyl)oxy][1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4-

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methylpentan-1-ol 849942-80-9P, (2R)-2-[[2-Amino-5-[(3-
chlorobenzyl)oxy][1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4-
methylpentan-1-ol 849942-81-0P, (2R)-2-[[2-Amino-5-[(2-
methoxybenzyl)oxy][1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4-
methylpentan-1-ol 849942-82-1P, (2R)-2-[[2-Amino-5-
(benzyloxy) [1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4-
methylpentan-1-ol 849942-83-2P 849942-86-5P
849942-88-7P, (2R)-2-[[2-Amino-5-[[2-(2-
bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-
bromophenyl)ethyl]sulfinyl][1,3]thiazolo[4,5-d]pyrimidin-7-
yl] (methyl) amino] -4-methylpentan-1-ol 849943-09-5P,
\overline{5}-(Benzyloxy)-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo
[4,5-d]pyrimidin-2(3H)-one 849943-14-2P, 7-[[(1R)-1-
(Hydroxymethyl)-3-methylbutyl]amino]-5-[(3-methoxybenzyl)oxy][1,3]thiazolo
[4,5-d]pyrimidin-2(3H)-one 849943-15-3P, 7-[[(1R)-1-
(Hydroxymethyl)-3-methylbutyl]amino]-5-(2-phenylethoxy)[1,3]thiazolo[4,5-
d]pyrimidin-2(3H)-one 849943-16-4P, 5-(Benzyloxy)-7-[[(1R)-1-
(hydroxymethyl)butyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-22-2P, 7-[(1R)-1-(Hydroxymethyl)butyl]amino]-5-[((1S)-1-
phenylethyl)oxy][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-23-3P, N-[3-[[[7-[[(1R)-1-(Hydroxymethyl)butyl]amino]-2-oxo-
2,3-dihydro[1,3]thiazolo[4,5-d]pyrimidin-5-yl]oxy]methyl]phenyl]-N-
methylmethanesulfonamide 849943-25-5P, N-[3-[[[7-[[(1R)-1-\frac{1}{2}]]]])
(Hydroxymethyl)-2-methylpropyl]amino]-2-oxo-2,3-dihydro[1,3]thiazolo[4,5-
d|pyrimidin-5-yl|oxy|methyl|phenyl|methanesulfonamide 849943-31-3P
, 5-(Benzyloxy)-7-[[1-(hydroxymethyl)cyclopentyl]amino][1,3]thiazolo[4,5-
d]pyrimidin-2(3H)-one 849943-34-6P, 7-[[1-
(Hydroxymethyl)cyclopentyl]amino]-5-[(2-methylbenzyl)oxy][1,3]thiazolo[4,5-
d]pyrimidin-2(3H)-one 849943-35-7P, 7-[[1-
(Hydroxymethyl)cyclopentyl]amino]-5-[(3-methylbenzyl)oxy][1,3]thiazolo[4,5-
d]pyrimidin-2(3H)-one 849943-36-8P, 5-[(2-Chlorobenzyl)oxy]-7-
[[1-(hydroxymethyl)cyclopentyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-
one 849943-37-9P, 5-[(3-Chlorobenzyl)oxy]-7-[[1-
(hydroxymethyl)cyclopentyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-38-0P, 5-[(4-Chlorobenzyl)oxy]-7-[[1-
(hydroxymethyl)cyclopentyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-39-1P, 7-[[1-(Hydroxymethyl)cyclopentyl]amino]-5-[(2-
methoxybenzyl)oxy][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-40-4P, 7-[[1-(Hydroxymethyl)cyclopentyl]amino]-5-[(3-
methoxybenzyl)oxy][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-41-5P, 4-[[[7-[[1-(Hydroxymethyl)cyclopentyl]amino]-2-oxo-
2,3-dihydro[1,3]thiazolo[4,5-d]pyrimidin-5-yl]oxy]methyl]benzonitrile
849943-42-6P, 7-[[1-(Hydroxymethyl)cyclopentyl]amino]-5-(1-
phenylethoxy)thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-43-7P,
7-[[1-(Hydroxymethyl)cyclopentyl]amino]-5-[((1S)-1-
phenylethyl)oxy][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-44-8P, 5-[[2-(3-Chlorophenyl)ethyl]sulfinyl]-7-[[(1R)-1-
(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-
one 849943-49-3P, 5-[[2-(2-Bromophenyl)ethyl]sulfinyl]-7-[[(1R)-
1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-
one 849943-51-7P, 5-[(2,3-Difluorobenzyl)sulfinyl]-7-[[(1R)-1-
(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-
one 849943-52-8P, 5-(Benzylsulfinyl)-7-[[(1R)-1-(hydroxymethyl)-1]
3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
849943-55-1P, 5-[(2-Chlorobenzyl)sulfinyl]-7-[[(1R)-1-
(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-
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Absolute stereochemistry.

RN 849942-73-0 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(3-methoxyphenyl)methoxy]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-74-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-(2-phenylethoxy)thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 849942-75-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-(2-phenoxyethoxy)thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-76-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(2-methylphenyl)methoxy]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-79-6 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(4-chlorophenyl)methoxy]thiazolo[4,5-

d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)
Absolute stereochemistry.

RN 849942-80-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(3-chlorophenyl)methoxy]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-81-0 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(2-methoxyphenyl)methoxy]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 849942-82-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-(phenylmethoxy)thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-83-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(4-bromo-2-fluorophenyl)methyl]sulfinyl]thiazo lo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 849942-86-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(4-bromophenyl)ethyl]sulfinyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-88-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(2-bromophenyl)ethyl]sulfinyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-90-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(2-bromophenyl)ethyl]sulfinyl]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 849943-09-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-(phenylmethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-14-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(3-methoxyphenyl)methoxy]- (CA INDEX NAME)

10575534.trn

RN 849943-15-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-(2-phenylethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-16-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]-5-(phenylmethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-22-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]- 5-[(1S)-1-phenylethoxy]- (CA INDEX NAME)

RN 849943-23-3 CAPLUS

CN Methanesulfonamide, N-[3-[[[2,3-dihydro-7-[[(1R)-1-(hydroxymethyl)butyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]oxy]methyl]phenyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-25-5 CAPLUS

CN Methanesulfonamide, N-[3-[[[2,3-dihydro-7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]oxy]methyl]phenyl](CA INDEX NAME)

Absolute stereochemistry.

RN 849943-31-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-(phenylmethoxy)- (CA INDEX NAME)

RN 849943-34-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(2-methylphenyl)methoxy]- (CA INDEX NAME)

RN 849943-35-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(3-methylphenyl)methoxy]- (CA INDEX NAME)

RN 849943-36-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[(2-chlorophenyl)methoxy]-7-[[1-(hydroxymethyl)cyclopentyl]amino]- (CA INDEX NAME)

RN 849943-37-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[(3-chlorophenyl)methoxy]-7-[[1-(hydroxymethyl)cyclopentyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{CH}_2-\text{OH} \\ & & & \\ & & & \\ \text{CH}_2-\text{O} \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 849943-38-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[(4-chlorophenyl)methoxy]-7-[[1-(hydroxymethyl)cyclopentyl]amino]- (CA INDEX NAME)

RN 849943-39-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(2-methoxyphenyl)methoxy]- (CA INDEX NAME)

RN 849943-40-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(3-methoxyphenyl)methoxy]- (CA INDEX NAME)

RN 849943-41-5 CAPLUS

CN Benzonitrile, 4-[[[2,3-dihydro-7-[[1-(hydroxymethyl)cyclopentyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]oxy]methyl]- (CA INDEX NAME)

RN 849943-42-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-(1-phenylethoxy)- (CA INDEX NAME)

10575534.trn

RN 849943-43-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(1S)-1-phenylethoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-44-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(3-chlorophenyl)ethyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-49-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(2-bromophenyl)ethyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

RN 849943-51-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]sulfiny 1]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-52-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)sulfinyl]- (CA INDEX NAME)

RN 849943-55-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-chlorophenyl)methyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-57-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(4-chlorophenyl)methyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

RN 849943-59-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-5-[(phenylmethyl)sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry.

ΙT 259101-09-2, (2R)-2-[[2-Amino-5-(benzylthio)[1,3]thiazolo[4,5d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol 259101-69-4, (2R)-2-[[2-Amino-5-[(2,3-difluorobenzyl)thio][1,3]thiazolo[4,5-d]pyrimidin-7-y1]amino]-4-methylpentan-1-ol 463954-32-7, (2R)-2-[(2-Amino-5-mercapto[1,3]thiazolo[4,5-d]pyrimidin-7-yl)amino]-4methylpentan-1-ol 849943-27-7, (2R)-2-[[2-Amino-5-(benzylthio)[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methylbutan-1-ol RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of new 2-substituted-4-amino-thiazolo[4,5-d]pyrimidines useful as CX3CR1 chemokine receptor antagonists) 259101-09-2 CAPLUS RN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-CN yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

10575534.trn

RN 259101-69-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-32-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-27-7 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

ΙT 463954-27-0P, [1-[[2-Amino-5-(benzylthio)[1,3]thiazolo[4,5d]pyrimidin-7-yl]amino]cyclopentyl]methanol 849942-72-9P, (2R)-2-[[2-Amino-5-(benzylsulfonyl)[1,3]thiazolo[4,5-d]pyrimidin-7yl]amino]-4-methylpentan-1-ol 849942-77-4P, (2R)-2-[[2-Amino-5-(benzylthio)[1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4methylpentan-1-ol 849942-78-5P, (2R)-2-[[2-Amino-5-(benzylsulfonyl)[1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4methylpentan-1-ol 849942-84-3P, (2R)-2-[(2-Amino-5mercapto[1,3]thiazolo[4,5-d]pyrimidin-7-yl)(methyl)amino]-4-methylpentan-1ol 849942-85-4P, (2R)-2-[[2-Amino-5-[(4-bromo-2fluorobenzyl)thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl](methyl)amino]-4-bromophenyl)ethyl]thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4methylpentan-1-ol 849942-89-8P, (2R)-2-[[2-Amino-5-[[2-(2-Amino-5-[[2-(2-(2-Amino-5-(2-1))])]]])]bromophenyl)ethyl]thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4methylpentan-1-ol 849943-11-9P, (2R)-2-[[5-[(2,3-1)]]Difluorobenzyl)thio]-2-methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4methylpentan-1-ol 849943-13-1P, 5-[(2,3-Difluorobenzyl)sulfonyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5dpvrimidin-2(3H)-one 849943-17-5P, (2R)-2-[[2-Amino-5-(benzylthio)[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]pentan-1-ol 849943-19-7P, (2R)-2-[[5-(Benzylthio)-2-methoxy[1,3]thiazolo[4,5d]pyrimidin-7-yl]amino]pentan-1-ol 849943-20-0P, 5-(Benzylthio)-7-[(1R)-1-(hydroxymethyl)butyl]amino][1,3]thiazolo[4,5d]pyrimidin-2(3H)-one 849943-21-1P, 5-(Benzylsulfonyl)-7-[[(1R)-1-(hydroxymethyl)butyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-28-8P, (2R)-2-[[5-(Benzylthio)-2-methoxy[1,3]thiazolo[4,5d]pyrimidin-7-yl]amino]-3-methylbutan-1-ol 849943-30-2P, 5-(Benzylsulfonyl)-7-[[(1R)-1-(hydroxymethyl)-2methylpropyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-32-4P, 5-(Benzylthio)-7-[[1-(hydroxymethyl)cyclopentyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-33-5P, 5-(Benzylsulfonyl)-7-[[1-(hydroxymethyl)cyclopentyl]amino][1,3]thiazolo[4, 5-d]pyrimidin-2(3H)-one 849943-46-0P, (2R)-2-[[5-[7-[((1R)-1-1])]] Hydroxymethyl-3-methylbutyl)amino]-2-methoxy[1,3]thiazolo[4,5-d]pyrimidin-5-yldisulfanyl]-2-methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4methylpentan-1-ol 849943-47-1P 849943-48-2P, 5-[[2-(3-Chlorophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one

849943-50-6P, 5-[[2-(2-Bromophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)one 849943-53-9P, (2R)-2-[[5-(Benzylthio)-2methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol 849943-56-2P, 5-[(2-Chlorobenzyl)thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-58-4P, 5-[(4-Chlorobenzyl)thio]-7-[[(1R)-1-(hydroxymethyl)-1]3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of new 2-substituted-4-amino-thiazolo[4,5-d]pyrimidines useful as CX3CR1 chemokine receptor antagonists) RN 463954-27-0 CAPLUS CN Cyclopentanemethanol, 1-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 849942-72-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-77-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

10575534.trn

RN 849942-78-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-84-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]methylamino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-85-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(4-bromo-2-fluorophenyl)methyl]thio]thiazolo[4

,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-87-6 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(4-bromophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849942-89-8 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(2-bromophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 849943-11-9 CAPLUS

CN 1-Pentanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-13-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]sulfony 1]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

RN 849943-17-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-19-7 CAPLUS

CN 1-Pentanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-20-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]-

10575534.trn

5-[(phenylmethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-21-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]-5-[(phenylmethyl)sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-28-8 CAPLUS

CN 1-Butanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methyl-, (2R)- (CA INDEX NAME)

10575534.trn

RN 849943-30-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-5-[(phenylmethyl)sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-32-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

RN 849943-33-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(phenylmethyl)sulfonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN

849943-46-0 CAPLUS 1-Pentanol, 2,2'-[dithiobis[(2-methoxythiazolo[4,5-d]pyrimidine-5,7-CN diyl)imino]]bis[4-methyl-, (2R,2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849943-47-1 CAPLUS RN

Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5,5'-dithiobis[7-[[(1R)-1-CN (hydroxymethyl)-3-methylbutyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-48-2 CAPLUS CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(3-chlorophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-50-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(2-bromophenyl)ethyl]thio]-7[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-53-9 CAPLUS

CN 1-Pentanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 849943-56-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-chlorophenyl)methyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-58-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(4-chlorophenyl)methyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

 ${\tt Absolute \ stereochemistry.}$

IT 463954-11-2 849943-60-8 849943-61-9

RL: PRP (Properties)

(solubility comparison to ether analog; preparation of new

2-substituted-4-amino-

thiazolo[4,5-d]pyrimidines useful as CX3CR1 chemokine receptor

antagonists)

RN 463954-11-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-60-8 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(2-phenylethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-61-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(3-methoxyphenyl)methyl]thio]- (CA INDEX NAME)

IT 849942-91-2P 849943-12-0P 849943-29-9P

849943-54-0P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solubility comparison to ether analog; preparation of new 2-substituted-4-amino-

thiazolo[4,5-d]pyrimidines useful as CX3CR1 chemokine receptor antagonists)

RN 849942-91-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[2-(2-bromophenyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-12-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

RN 849943-29-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849943-54-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

GΙ

There are disclosed 2-substituted-4-aminothiazolo[4,5-d]pyrimidines and AΒ pteridinones (shown as I; variables defined below; e.g. 5-(benzyloxy)-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one (shown as II)) and pharmaceutically acceptable salts thereof, together with processes for their preparation, pharmaceutical compns. comprising them and their use in therapy. I are CX3CR1 receptor antagonists and are thereby particularly useful in the treatment or prophylaxis of neurodegenerative disorders, demyelinating disease, atherosclerosis and pain. For I: A = 1,2-dihydro-2-oxo-3-R21pyrazine, 2-(R22R23N)thiazole, or 2-oxothiazoline; R1 and R2 = H, C1-8-alkyl, C2-8-alkenyl, C2-8-alkynyl or C3-7 saturated or partially unsatd. cycloalkyl; the latter 4 groups being optionally further substituted; R3 = C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl or C3-7 saturated or partially unsatd. cycloalkyl; X = O or S(O); R21 = H, CH2OR24, CH2NR24R25, CO2R24 or CONR24R25; R22 andR23 = H, C1-6-alkyl, C2-6-alkenyl or C3-7 saturated or partially unsatd. cycloalkyl; n = 0-2; R4-R20, R24, R25 = H or C1-6-alkyl; addnl. details are given in the claims. Methods of preparation are claimed and 49 example prepns. are included. For example, II was prepared in 5 steps (88, 88, 90, 82 and 16 % yields) starting from (2R)-2-[[2-amino-5-[(2,3-amino-5)]]difluorobenzyl)thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4methylpentan-1-ol and involving intermediates (2R)-2-[[2-chloro-5-[(2,3difluorobenzyl)thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4methylpentan-1-ol, (2R)-2-[[5-[(2,3-difluorobenzyl)thio]-2methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol, 5-[(2,3-difluorobenzyl)thio]-7-[[(1R)-1-(hydroxymethyl)-3methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one and 5-[(2,3-difluorobenzyl)sulfonyl]-7-[[(1R)-1-(hydroxymethyl)-3methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one. When tested in a ligand binding assay, the 49 examples of I gave Ki values of <10 $\mu\text{M},$ indicating that they are expected to show useful therapeutic activity. For example, II and 5-[(2,3-difluorobenzyl)sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)one gave Ki values of 44.6 and 38.0 nM resp. Representative solubility data are shown in which 8 examples of I have much greater solubility than the corresponding thioether analogs of other inventions.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:267340 CAPLUS

DOCUMENT NUMBER: 140:303689

TITLE: Preparation of $5-\{[(2,3-\text{difluorophenyl})\text{methyl}]\text{thio}\}-7-$

{ [(2-hydroxy-1-(hydroxymethyl)-1-

methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one

as CXCR2 receptor antagonist

INVENTOR(S): Bonnert, Roger Victor

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

I	PATENT NO.						KIND DATE			APPLICATION NO.							DATE			
Ī	 WO	2004		A1 2004(0401	 1 WO 2003-GB3998							20030916					
		W:							AZ,											
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			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC	Ξ, Ί	VN,	YU,	ZA,	ZM,	ZW			
		RW:	GH,	GM,	KΕ,	LS,	MW,	MΖ,	SD,	SL,	SZ	Ζ, :	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,	
			KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BC	3, (CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
			FI,	FR,	GB,	GR,	HU,	IE,	ΙΤ,	LU,	MC	C, 1	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
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(CA	2498			A1 20040401			CA 2003-2498762							20030916					
									AU 2003-267571							20030916				
Ž	ΑU	2003		B2 20070816																
I	EΡ								EP 2003-748263											
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	٦,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI		TR,	BG,	CZ,	EE,	HU,	SK		
I	BR	2003	Α	A 20050809					20	03-1		20030916								
		1681						CN 2003-822335												
· ·	JΡ	2006	T	JP 2004-537276																
1	NZ 538826						A 20061222				NZ 2003-538826						20030916			
1	MX 2005PA02935					A 20050527			MX 2005-PA2935							20050316				
ŗ	ZA 2005002272					A 20050919			ZA 2005-2272							20050317				
1	NO 2005001892					A 20050617				NO 2005-1892						20050419				
Ţ	US 2006100221					A1 20060511				US 2005-528316						20051201				
PRIOR:	RIORITY APPLN. INFO.:										GB	20	02-2	2182	8		A 2	0020	920	
											WO	20	03-0	GB39	98		W 2	0030	916	
0.000	OMITED COLLDON (C)							1 40	2020	0.0										

OTHER SOURCE(S): MARPAT 140:303689

IT 676345-22-5P 676345-23-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(multi-step preparation of $5-\{[(2,3-\text{difluorophenyl})\text{methyl}]\text{thio}\}-7-\{[(2-\text{hydroxy-1-(hydroxymethyl})-1-\text{methylethyl}]\text{amino}\}\text{thiazolo}[4,5-\text{d}]\text{pyrimidin-2(3H)-one as CXCR2 receptor antagonist)}$

RN 676345-22-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7- [[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]- (CA INDEX NAME)

RN 676345-23-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI)
(CA INDEX NAME)

Na

IT 259101-71-8P 676345-26-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(multi-step preparation of $5-\{[(2,3-difluorophenyl)methyl]thio\}-7-\{[(2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino\}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist)$

RN 259101-71-8 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

676345-26-9 CAPLUS RN CN 1,3-Propanediol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AΒ The title compound I and its monosodium salt, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., were prepared in a multi-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical composition comprising the compound I is claimed. REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN T.4 ACCESSION NUMBER: 2004:267303 CAPLUS 140:303685 DOCUMENT NUMBER: Preparation of $5-\{[(2,3-difluorophenyl)methyl]thio\}-7-$ TITLE: $\{[(1S, 2S) - 2 - hydroxy - 1 - (hydroxymethyl)propyl]amino\}$ thia zolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist Brough, Stephen John; McInally, Thomas INVENTOR(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited PATENT ASSIGNEE(S): PCT Int. Appl., 24 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE _____ _____ ____ ______ 20040401 WO 2003-GB4000 20030916 WO 2004026835 A1 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2498760 20040401 CA 2003-2498760 20030916 Α1 AU 2003-264765 AU 2003264765 Α1 20040408 20030916 20050622 EP 2003-797377 EP 1542974 Α1 20030916 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK BR 2003014843 А 20050809 BR 2003-14843 20030916 CN 1681787 Α 20051012 CN 2003-822336 20030916 JP 2006503836 Т 20060202 JP 2004-537278 20030916 MX 2005PA02936 20050728 MX 2005-PA2936 Α 20050316 ZA 2005002267 Α 20050919 ZA 2005-2267 20050317 US 2005272750 A1 20051208 US 2005-528270 20050317 NO 2005-1893 NO 2005001893 А 20050617 20050419 A 20020920 PRIORITY APPLN. INFO.: GB 2002-21829 WO 2003-GB4000 W 20030916 OTHER SOURCE(S): MARPAT 140:303685 676345-69-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(multi-step preparation of $5-\{[(2,3-difluorophenyl)methyl]thio\}-7-\{[(1S,2S)-difluorophenyl)methyl]thio\}$ 2-hydroxy-1-(hydroxymethyl)propyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)one as CXCR2 receptor antagonist)

676345-69-0 CAPLUS RN

Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino]- (CA INDEX NAME)

IT 676345-70-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(multi-step preparation of $5-\{[(2,3-\text{difluorophenyl})\text{methyl}]\text{thio}\}-7-\{[(1S,2S)-2-\text{hydroxy}-1-(\text{hydroxymethyl})\text{propyl}]\text{amino}\}\text{thiazolo}[4,5-\text{d}]\text{pyrimidin}-2(3H)-\text{one as CXCR2 receptor antagonist})$

RN 676345-70-3 CAPLUS

CN 1,3-Butanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The title compound I, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., was prepared in a 7-step process, starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compound I showed IC50 of < 10 $\mu\rm M$ against hrCXCR2 binding. The latter was also tested in

intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the preparation of the compound I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:814150 CAPLUS

DOCUMENT NUMBER: 137:325430

TITLE: Preparation of thiazolopyrimidines as modulators of

chemokine receptor activity

INVENTOR(S):
Bonnert, Roger

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.			KIND		DATE				LICAT	DATE					
WO.	2002	 002083693						20021024					20020412				
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	ΒA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK	, SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
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		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE	, IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ	, GW,	ML,	MR,	NE,	SN,	TD,	ΤG
AU	2002	A1	20021028				AU 2002-255401										
							EP 2002-724837						20020412				
EP	1385	1385854				B1 20050209											
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
							RO,										
JP	JP 2004525972 AT 288919						2004	0826		JΡ	2002-	5814	48		2	0020	412
																0020	412
US	US 2004157853									US	2003-	4746	10		2	0031	009
	US 6949643																
US	US 2006111569						2006	0525		US	2005-	2253	79		2	0050	912
PRIORIT	IORITY APPLN. INFO.:										2001-					0010	412
										WO	2002-	SE73	1		W 2	0020	412
										US	2003-	4746	10		A1 2	0031	009
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OTHER SOURCE(S): MARPAT 137:325430

IT 333742-48-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolopyrimidines as modulators of chemokine receptor activity)

RN 333742-48-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

ΙT 333743-03-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolopyrimidines as modulators of chemokine receptor activity)

RN

333743-03-6 CAPLUS
1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

GΙ

$$NR^{2}R^{3}$$
 $R^{2}R^{3}$
 R^{3}
 R^{3}

AB The title compds. [I; A = II, III; R1 = cycloalkyl, alkyl, alkenyl, etc.; R2, R3 = H, cycloalkyl, alkyl, etc.; X = CH, CCN; Y = N, CR18; R18 = H, alkyl, Ph], useful for treating a chemokine mediated disease such as psoriasis, rheumatoid arthritis, and COPD, were prepared E.g., a 5-step synthesis of (1R)-IV, starting from 2-amino-5,6-dihydro-5-thioxothiazolo[4,5-d]pyrimidin-7(4H)-one and 2,3-difluorobenzyl bromide, was given. The compds. I were found to have IC50 values of < 10 $\mu\rm M$ against CXCR2 receptor binding. They were also tested against chemokine GRO α (no data given).

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
      ANSWER 11 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:754394 CAPLUS
                             137:263057
DOCUMENT NUMBER:
                             Preparation of thiazolo[4,5-d]pyrimidinyldiamines as
TITLE:
                            CX3CR1 receptor antagonists
INVENTOR(S):
                            Hanson, Sverker; Nordvall, Gunnar
PATENT ASSIGNEE(S):
                           Astrazeneca AB, Swed.
                             PCT Int. Appl., 40 pp.
SOURCE:
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
LANGUAGE:
                             English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                           KIND DATE APPLICATION NO.
                                                                             DATE
                           ____
                                                   ______
                             A1 20021003 WO 2002-SE599 20020326
      WO 2002076990
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                            A1 20021008 AU 2002-245005 20020326
     AU 2002245005
      EP 1377590
                              Α1
                                     20040107
                                                 EP 2002-713341
                                                                               20020326
                                     20070815
     EP 1377590
                              В1
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
      JP 2004524351 T 20040812 JP 2002-576248
                                                                               20020326
      AT 370147
                             Τ
                                    20070915 AT 2002-713341
                                                                               20020326
      US 2004106628
                            A1 20040603 US 2003-472992
                                                                               20030925
      US 7067657
                             В2
                                     20060627
                                                   SE 2001-1082 A 20010327
WO 2002-SE599 W 20020326
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
                           MARPAT 137:263057
      463953-89-1P 463953-90-4P 463953-91-5P
      463953-92-6P 463953-93-7P 463953-94-8P
      463953-95-9P 463953-96-0P 463953-97-1P
      463953-98-2P 463953-99-3P 463954-00-9P
      463954-01-0P 463954-02-1P 463954-03-2P
      463954-04-3P 463954-05-4P 463954-06-5P
      463954-07-6P 463954-08-7P 463954-09-8P
      463954-10-1P 463954-11-2P 463954-12-3P
      463954-13-4P 463954-14-5P 463954-15-6P
      463954-16-7P 463954-17-8P 463954-18-9P
      463954-19-0P 463954-20-3P 463954-21-4P
      463954-22-5P 463954-23-6P 463954-24-7P
      463954-25-8P 463954-26-9P 463954-27-0P
      463954-28-1P
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
          (preparation of thiazolo[4,5-d]pyrimidinyldiamines as CX3CR1 receptor
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antagonists)

10575534.trn

RN 463953-89-1 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ & \text{i-Pr-CH-NH} \\ & \\ & \text{N} \\ & \text{Ph-CH2-S} \end{array}$$

RN 463953-90-4 CAPLUS

CN 1-Hexanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 463953-91-5 CAPLUS

CN Acetamide, 2-[[7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 463953-92-6 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[5-[(phenylmethyl)thio]-2-(4-piperidinylamino)thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 463953-93-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463953-94-8 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-chlorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

463953-95-9 CAPLUS RN

CN 1-Pentanol, 2-[[2-amino-5-[[(2-bromophenyl)methyl]thio]thiazolo[4,5d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

 $\begin{array}{lll} 463953-96-0 & \text{CAPLUS} \\ 1-\text{Pentanol}, & 2-[[2-\text{amino}-5-[[(3-\text{bromophenyl})\text{methyl}]\text{thio}]\text{thiazolo}[4,5-(3-\text{bromophenyl})] \end{array}$ CN d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

463953-97-1 CAPLUS RN

1-Pentanol, 2-[[2-amino-5-[[(4-bromophenyl)methyl]thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463953-98-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-iodophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463953-99-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,4-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-00-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,5-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-01-0 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,6-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-02-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3,4-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-03-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3,5-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-04-3 CAPLUS CN 1-Pentanol, 2-[[2-amino-5-[[(3-chloro-2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-05-4 CAPLUS
CN 1-Pentanol, 2-[[2-amino-5-[[(2-chloro-6-fluorophenyl)methyl]thio]thiazolo[
4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-06-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(4-bromo-2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-07-6 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-bromo-5-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-08-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,3-dichlorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-09-8 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(6-chloro-1,3-benzodioxol-5-yl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-10-1 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-11-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-12-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(4-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-13-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-fluoro-3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-14-5 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]thi o]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-15-6 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-bromo-5-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-16-7 CAPLUS

CN Benzoic acid, 3-[[[2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]methyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-17-8 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[[4-(trifluoromethyl)phenyl]methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-18-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[[2-(trifluoromethyl)phenyl]methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-19-0 CAPLUS

CN Benzonitrile, 4-[[[2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]methyl]- (CA INDEX NAME)

RN 463954-20-3 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(4-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-21-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-22-5 CAPLUS

CN Benzonitrile, 2-[[[2-amino-7-[[(1R)-1-(hydroxymethy1)-3-methylbuty1]amino]thiazolo[4,5-d]pyrimidin-5-y1]thio]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-23-6 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

RN 463954-24-7 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[[3-(trifluoromethyl)phenyl]methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-25-8 CAPLUS

CN Benzonitrile, 3-[[[2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]methyl]- (CA INDEX NAME)

RN 463954-26-9 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-methyl-2-nitrophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 463954-27-0 CAPLUS

CN Cyclopentanemethanol, 1-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 463954-28-1 CAPLUS

10575534.trn

CN 1-Hexanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-difluorophenyl]methyl]thio]thiazolo[4,5-difluorophenyl]methyl]thio]thiazolo[4,5-difluorophenyl]methyl]thio]thiazolo[4,5-difluorophenyl]methyl]thio]thiazolo[4,5-difluorophenyl]methyl]thio]thiazolo[4,5-difluorophenyl]methyl]thio]thiazolo[4,5-difluorophenyl]methyl]thio]thiazolo[4,5-difluorophenyl]methyl]thio]thiazolo[4,5-difluorophenyl]methyl]methyl]methyl]thio]thiazolo[4,5-difluorophenyl]methyllmethyllmd]pyrimidin-7-yl]amino]- (CA INDEX NAME)

ΙT 259101-09-2

> RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of thiazolo[4,5-d]pyrimidinyldiamines as CX3CR1 receptor antagonists)

RN

259101- $\tilde{0}9-2$ CAPLUS 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-CN yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

ΙT 463954-31-6P 463954-32-7P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolo[4,5-d]pyrimidinyldiamines as CX3CR1 receptor antagonists)

RN 463954-31-6 CAPLUS

1-Piperidinecarboxylic acid, 4-[[7-[[(1R)-1-(hydroxymethyl)-3-CN methylbutyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2yl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 463954-32-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

GΙ

$$R^2$$
 R^3 OH

N
S
N
 $X-R^1$ I

AB The title compds. [I; R1 = H, NR4R5, CONR6R7, 4-7 membered saturated monoazacyclic ring optionally substituted by alkyl or alkyl-OR8; R2 = alkyl, optionally substituted by OR9, NR10R11; R3 = H, alkyl, optionally substituted by OR12, NR13R14; or CR2R3 = cycloalkyl, optionally substituted by OR9 or NR10R11; Ar = (un)substituted Ph; X = a bond, alkyl; R4-R14 = H, alkyl], useful for the treatment or prophylaxis of diseases or conditions in which antagonism of the CX3CR1 receptor is beneficial,

particularly useful in the treatment or prophylaxis of neurodegenerative disorders, demyelinating disease and pain, were prepared. Thus, reacting 5-phenylmethylthio-7-chlorothiazolo[4,5-d]pyrimidin-2-ylamine with DL-2-amino-3-methyl-1-butanol in THF afforded 24% (±)-I [R1 = H; R2 = iso-Pr; R3 = H; Ar = Ph; X = a bond]. The exemplified compds. I showed Ki of < 10 μ M in the SPA-ligand binding assay. REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
     ANSWER 12 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:597993 CAPLUS
DOCUMENT NUMBER:
                             135:166841
TITLE:
                             Preparation of thiazolopyrimidines as modulators of
                             chemokine receptor activity
INVENTOR(S):
                             Bonnert, Roger; Cage, Peter; Hunt, Fraser; Jewell,
                             Robert; Walters, Iain
PATENT ASSIGNEE(S):
                             Astrazeneca AB, Swed.
                             PCT Int. Appl., 37 pp.
SOURCE:
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
LANGUAGE:
                             English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                        KIND DATE APPLICATION NO.
     20010207
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
               YU, ZA, ZW
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
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                                  20010815 GB 2000-3025 20000211
                        A
                                   20021120
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      EP 1257556
                              Α1
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                                    20040616
      EP 1257556
                              В1
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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      JP 2003522192
      T
      20030722
      JP 2001-558056

      AT 269340
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      20040715
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      US 2003032642
      A1
      20030213
      US 2002-203580

      US 6958343
      B2
      20051025

                                                                               20010207
                                                                               20010207
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                             B2 20051025
      US 6958343
                                                   GB 2000-3025 A 20000211
WO 2001-SE247 W 20010207
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
                            MARPAT 135:166841
     333743-41-2P 333743-44-5P 333743-47-8P
      333744-06-2P 354565-81-4P 354565-82-5P
      354565-83-6P 354565-84-7P 354565-85-8P
      354565-86-9P 354565-87-0P 354565-88-1P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
      study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
      BIOL (Biological study); PREP (Preparation); USES (Uses)
         (preparation of thiazolopyrimidines as modulators of chemokine receptor
         activity)
RN
      333743-41-2 CAPLUS
      1-Propanol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-
CN
      d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)
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RN 333743-44-5 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

Me
$$CH_2-S$$
 N NH_2 NH_2

RN 333743-47-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 333744-06-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(5-chloro-1,2,3-thiadiazol-4-yl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 354565-81-4 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(1H-benzimidazol-2-ylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 354565-82-5 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(2-furanylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{CH}_2\text{-}\text{S} & \text{N} & \text{NH}_2 \\ \hline & \text{N} & \text{S} & \\ & \text{NH} & \text{C} & \text{CH}_2\text{-}\text{OH} \\ & \text{Me} & \\ & \text{Me} & \\ \end{array}$$

RN 354565-83-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[1-(2-thienyl)ethyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 354565-84-7 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3,5-dimethyl-4-isoxazolyl)methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 354565-85-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(5-methyl-2-furanyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 354565-86-9 CAPLUS

CN Acetamide, N-[4-[[[2-amino-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]methyl]-2-thiazolyl]-

(CA INDEX NAME)

Absolute stereochemistry.

RN 354565-87-0 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(5-isoxazolylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 354565-88-1 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(2-furanylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

IT 259101-61-6P 333742-99-7P 333743-71-8P

354565-89-2P 354565-90-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolopyrimidines as modulators of chemokine receptor activity)

RN 259101-61-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ & | \\ \text{HO-CH}_2\text{--}\text{C-NH} \\ & | \\ \text{Me} & \\ & \text{N} \\ \\ \text{Ph-CH}_2\text{--}\text{S} & \text{N} \end{array}$$

RN 333742-99-7 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-71-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

RN 354565-89-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 354565-90-5 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

GI

AB The title compds. [I; R = H, NR4R5 (R4, R5 = H, 4-piperidinyl, cycloalkyl, etc.; NR4R5 = (un)substituted 4-7 membered saturated heterocyclyl); R1 = alkyl optionally containing one or more atoms selected from O, S, NR6 (R6 = H, alkyl, Ph) which terminates in (un)substituted heteroaryl group; R3, R3 = H, alkyl, cycloalkyl, etc.; NR2R3 = (un)substituted 3-8 membered ring optionally containing one or more atoms selected from O, S, NR9 (NR9 = H, alkyl, Ph)], useful in treating an inflammatory diseases such as psoriasis and COPD, were prepared E.g., a multi-step synthesis of I [R = NH2; R1 = 1H-benzimidazol-2-ylmethyl; R2 = CMe2CH2OH; R3 = H] was given. The compds. I were found to have IC50 of < 10 μ M against CXCR2 receptor binding.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:265425 CAPLUS

DOCUMENT NUMBER: 134:280857

TITLE: Preparation of novel thiazolo[4,5-d]pyrimidines as

modulators of chemokine receptors

INVENTOR(S): Willis, Paul Andrew; Bonnert, Roger Victor; Hunt,

Simon Fraser; Walters, Iain Alistair Stewart

PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.					D	DATE		APPLICATION NO.					DATE			
WO	2001025242 W: AE, AG,			ΔТ	A1 AL, AM, AT		20010412 C. AU. AZ.		WO 2000-GB3692 BA, BB, BG, BR, BY,					20000926 BZ, CA, CH, CN,			
			CU,								, EI,					GM,	HR,
		HU,	•	,	IN,						, KR,					,	LT,
		LU,	•	MA,							, MZ,						
		SD,	SE,	SG,							, TT,						
		YU,	ZA,	ZW	·	·	·	ŕ	·	·	•	,	·	·	,	,	·
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	, TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
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BR 2000014334					Α		2002	0611	BR 2000-14334					20000926			926
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EP	1222				В1		2004	-									
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	JP 2003511384				Τ		2003		JP 2001-528186						20000926		
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	HU 2002004246			A2		2003		HU 2002-4246					2	0000	926		
				A3		2006				2000	C170	0.0		0	0000	000	
	Z 517880			A		2003		NZ 2000-517880						20000926 20000926			
	EP 1348709 EP 1348709				A2 A3				EP 2003-15019						2	0000	926
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	ES 2213043			T3		2004		ES 2000-960891						20000926			
	AU 777872			В2		2004		AU 2000-73049						20000926			
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ИО	NO 2002001448				Α		2002	0522		NO 2002-1448					20020322		
ZA	ZA 2002002380				Α		2003	0804		ZA 2002-2380					20020325		
MX	4X 2002PA03263				Α		2002	0930	MX 2002-PA3263					20020327			
US	US 6790850				В1		2004	0914	US 2002-89571						20020329		
KR	KR 765051				В1		2007		KR 2002-704180						20020330		
	нк 1052009				A1		2006			HK 2003-104330					20030617		
	US 2004224961				A1					US 2004-863995						0040	
IN 2007MN01406					А		2007	1102		IN 2	2007-	MN14	06		2	0070	912

PRIORITY APPLN. INFO.: SE 1999-3544 A 19991001 A3 20000926 EP 2000-960891 W 20000926 WO 2000-GB3692 IN 2002-MN313 A3 20020314 US 2002-89571 A1 20020329 OTHER SOURCE(S): MARPAT 134:280857 333742-46-4P 333742-48-6P 333742-63-5P 333742-86-2P 333742-87-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors) 333742-46-4 CAPLUS RMCN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-hyd

methylethyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-48-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-63-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-2-fluoropheny1)methy1]thio]-7-[[(1R)-2-hydroxy-1-methylethy1]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-86-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(methoxymethyl)ethyl]amino]- (CA INDEX NAME)

RN 333742-87-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

IT 333742-56-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333742-56-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidi

Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-amino-1-methylethyl]amino]-5-[[(2,3-difluorophenyl)methyl]thio]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 333742-55-5

CMF C15 H15 F2 N5 O S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

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333742-44-2P 333742-45-3P 333742-47-5P
ΙT
     333742-49-7P 333742-50-0P 333742-51-1P
     333742-52-2P 333742-53-3P 333742-54-4P
     333742-55-5P 333742-57-7P 333742-58-8P
     333742-59-9P 333742-60-2P 333742-61-3P
     333742-62-4P 333742-64-6P 333742-65-7P
     333742-66-8P 333742-67-9P 333742-68-0P
     333742-69-1P 333742-70-4P 333742-71-5P
     333742-72-6P 333742-73-7P 333742-74-8P
     333742-75-9P 333742-76-0P 333742-77-1P
     333742-78-2P 333742-79-3P 333742-80-6P
     333742-81-7P 333742-82-8P 333742-83-9P
     333742-84-0P 333742-85-1P 333742-88-4P
     333742-89-5P 333742-90-8P 333742-91-9P
     333742-92-0P 333742-93-1P 333742-94-2P
     333742-95-3P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333742-44-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

RN 333742-45-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)propyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-47-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxy-1,1-dimethylethyl)amino]- (CA INDEX NAME)

RN 333742-49-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-(2-hydroxyethoxy)ethyl]amino]- (CA INDEX NAME)

HO-CH2-CH2-O-CH2-CH2-NH

RN 333742-50-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

F
$$CH_2-S$$
 N N N O $HO-CH_2-CH-NH$ $HO-CH_2$

RN 333742-51-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-aminoethyl)amino]-5-[[(2,3-difluorophenyl)methyl]thio]- (CA INDEX NAME)

RN 333742-52-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxyethyl)amino]- (CA INDEX NAME)

RN 333742-53-3 CAPLUS

CN Methanesulfonamide, N-[2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-oxothiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]- (CA INDEX NAME)

RN 333742-54-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-(2-hydroxyethoxy)-1-methylethyl]amino]- (CA INDEX NAME)

RN 333742-55-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-amino-1-methylethyl]amino]-5-[[(2,3-difluorophenyl)methyl]thio]- (CA INDEX NAME)

RN 333742-57-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-[(2-hydroxyethyl)amino]-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-58-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(1R)-2-[(2-hydroxyethyl)amino]-1-methylethyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 333742-57-7

CMF C17 H19 F2 N5 O2 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 333742-59-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-(dimethylamino)-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-60-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[2-(2-aminoethoxy)-3-chlorophenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

RN 333742-61-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[2-(2-aminoethoxy)-3-chlorophenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 333742-60-2

CMF C17 H20 C1 N5 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 333742-62-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-4-methoxyphenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-64-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(3R,4R)-4-hydroxy-3-pyrrolidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-65-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[(3R)-3-pyrrolidinylamino]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 333742-66-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-67-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio]- (CA INDEX NAME)

RN 333742-68-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio]- (CA INDEX NAME)

Me
$$\sim$$
 CH₂-S \sim N \sim N \sim S \sim Me \sim NH-C-CH₂-OH

RN 333742-69-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]- 5-[[(2-methylphenyl)methyl]thio]- (CA INDEX NAME)

RN 333742-70-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[(2-furanylmethyl)thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-71-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-amino-1-methylethyl]amino]-5-[[(3-chloro-2-fluorophenyl)methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-72-6 CAPLUS

CN Propanamide, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-oxothiazolo[4,5-d]pyrimidin-7-yl]amino]-3-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-73-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(2-thienylmethyl)thio]- (CA INDEX NAME)

RN 333742-74-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[[3-methyl-4-(methylsulfonyl)phenyl]methyl]thio]-(CA INDEX NAME)

Absolute stereochemistry.

RN 333742-75-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[3-chloro-4-(trifluoromethoxy)phenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

RN 333742-76-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-77-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7- [[2-(dimethylamino)ethyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 333742-78-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-fluorophenyl)methyl]thio]-7[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-79-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[(2-methoxyphenyl)methyl]thio]- (CA INDEX NAME)

 ${\tt Absolute \ stereochemistry.}$

RN 333742-80-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(2-phenoxyethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-81-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[(3-methylphenyl)methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-82-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-fluoro-3-methylphenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

RN 333742-83-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chlorophenyl)methyl]thio]-7- [[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-84-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-bromophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

RN 333742-85-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[4-(difluoromethoxy)phenyl]methyl] thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-88-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-bromophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-89-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 333742-90-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-2-fluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 333742-91-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7[[2-hydroxy-1-(methoxymethyl)ethyl]amino]-, monosodium salt (9CI) (CA
INDEX NAME)

Na

RN 333742-92-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]-, monosodium salt (9CI) (CA INDEX NAME)

● Na

RN 333742-93-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(phenylmethyl)thio]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 333742-94-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(5-chloro-1,2,3-thiadiazol-4-yl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333742-95-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(3R)-3-pyrrolidinylamino]- (CA INDEX NAME)

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259100-39-5P 259101-56-9P 259101-61-6P
IT
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     333742-96-4P 333742-98-6P 333742-99-7P
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     333743-92-3P 333743-93-4P 333743-95-6P
     333743-97-8P 333743-99-0P 333744-00-6P
     333744-02-8P 333744-03-9P 333744-05-1P
     333744-06-2P 333744-08-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine
        receptors)
RN
     259100-39-5 CAPLUS
     1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-
CN
     yl]amino]-, (2R)- (CA INDEX NAME)
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Absolute stereochemistry.

RN 259101-56-9 CAPLUS
CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-61-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-68-3 CAPLUS

CN Ethanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-74-1 CAPLUS

CN Ethanol, 2-[2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethoxy]- (CA INDEX NAME)

RN 259101-81-0 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 333742-96-4 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 333742-98-6 CAPLUS

CN 1-Butanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 333742-99-7 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-01-4 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-02-5 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 333743-03-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-05-8 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-07-0 CAPLUS

CN Ethanol, 2-[2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-

d]pyrimidin-7-yl]amino]ethoxy]- (CA INDEX NAME)

RN 333743-09-2 CAPLUS
CN 1,3-Propanediol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

F
$$CH_2-S$$
 N N OMe $HO-CH_2-CH-NH$ $HO-CH_2$

RN 333743-10-5 CAPLUS

CN Carbamic acid, [2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 333743-12-7 CAPLUS

CN Carbamic acid, [2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 333743-14-9 CAPLUS

CN Ethanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 333743-15-0 CAPLUS

CN Methanesulfonamide, N-[2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]- (CA INDEX NAME)

RN 333743-17-2 CAPLUS

CN Methanesulfonamide, N-[2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]- (CA INDEX NAME)

RN 333743-18-3 CAPLUS

CN Ethanol, 2-[2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]propoxy]- (CA INDEX NAME)

RN 333743-20-7 CAPLUS

CN Ethanol, 2-[2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]propoxy]- (CA INDEX NAME)

F
$$CH_2-S$$
 N N OMe $HO-CH_2-CH_2-O-CH_2-CH-NH $Me$$

RN 333743-21-8 CAPLUS

CN Propanamide, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 333743-22-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[(1R)-2-amino-1-methylethyl]-5-[[(2,3-difluorophenyl)methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-23-0 CAPLUS

CN Carbamic acid, [(2R)-2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiaz olo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 333743-25-2 CAPLUS

CN Carbamic acid, [(2R)-2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-30-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(phenylmethyl)sulfonyl]- (CA INDEX NAME)

RN 333743-32-1 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-hydroxy-, 1,1-dimethylethyl ester, (3R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-34-3 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-4-hydroxy-, 1,1-dimethylethyl ester, (3R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-35-4 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, 1,1-dimethylethyl ester, (3R)- (CA INDEX NAME)

RN 333743-37-6 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, 1,1-dimethylethyl ester, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-41-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-43-4 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-44-5 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 333743-46-7 CAPLUS

CN 1,3-Propanediol, 2-[[2-methoxy-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 333743-47-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

Me
$$_{S}$$
 $_{N}$ $_{NH2}$ $_{NH2}$ $_{NH2}$ $_{NH2}$ $_{NH-C-CH_2-OH_2}$ $_{Me}$

RN 333743-49-0 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[[(2-methyl-4-thiazolyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

Me
$$\sim$$
 CH2-S \sim N \sim OMe \sim S \sim Me \sim NH-C-CH2-OH

RN 333743-50-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)sulfonyl]- (CA INDEX NAME)

RN 333743-55-8 CAPLUS

CN Carbamic acid, [(2R)-2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 333743-56-9 CAPLUS

CN Carbamic acid, [(2R)-2-[[2-amino-5-[(phenylmethyl)sulfonyl]thiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-57-0 CAPLUS

CN Carbamic acid, [(2R)-2-[[2-amino-5-[[(3-chloro-2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-59-2 CAPLUS

CN Carbamic acid, [(2R)-2-[[5-[[(3-chloro-2-fluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]propyl]-, 1,1-dimethylethylester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-60-5 CAPLUS

CN Propanamide, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-3-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-71-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-5(4H)-thione, 2-amino-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (CA INDEX NAME)

RN 333743-72-9 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-74-1 CAPLUS

CN 1-Propanol, 2-[[5-[[(2-fluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-75-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-77-4 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[[(2-methoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-78-5 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[(2-phenoxyethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 333743-80-9 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[(2-phenoxyethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-81-0 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-83-2 CAPLUS

CN 1-Propanol, 2-[[2-methoxy-5-[[(3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 333743-84-3 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-fluoro-3-methylphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-86-5 CAPLUS

CN 1-Propanol, 2-[[5-[[(2-fluoro-3-methylphenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 333743-87-6 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-chlorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-89-8 CAPLUS

CN 1-Propanol, 2-[[5-[[(3-chlorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-90-1 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-bromophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 333743-92-3 CAPLUS

CN 1-Propanol, 2-[[5-[[(3-bromophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-93-4 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[[4-(difluoromethoxy)phenyl]methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 333743-95-6 CAPLUS

CN 1-Propanol, 2-[[5-[[[4-(difluoromethoxy)phenyl]methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333743-97-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methoxy- (CA INDEX NAME)

RN 333743-99-0 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-3-methoxy- (CA INDEX NAME)

RN 333744-00-6 CAPLUS

10575534.trn

CN 1,3-Propanediol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ \text{HO-CH2-CH-NH} \\ & \text{N} \\ & \text{Ph-CH2-S} \end{array}$$

RN 333744-02-8 CAPLUS

CN 1,3-Propanediol, 2-[[2-methoxy-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH}_2\\ & \text{HO-CH}_2\text{-CH-NH}\\ & & \text{N} \end{array}$$

RN 333744-03-9 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(2-bromophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333744-05-1 CAPLUS

CN 1-Propanol, 2-[[5-[[(2-bromophenyl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 333744-06-2 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(5-chloro-1,2,3-thiadiazol-4-yl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 333744-08-4 CAPLUS

CN 1-Propanol, 2-[[5-[[(5-chloro-1,2,3-thiadiazol-4-yl)methyl]thio]-2-methoxythiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

GΙ

$$0 = \left(\begin{array}{c} NR^2R^3 \\ N \\ N \\ N \end{array} \right) S^{R^1}$$

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2, R3 = H, alkyl, cycloalkyl, etc.], useful in treating a chemokine mediated disease, were prepared E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = CMe2CH2OH; R3 = H] was described. The compds. I were tested and found to be antagonists of the CXCR2 receptor in human neutrophils.

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 189

ANSWER 14 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN T. 4

2000:133684 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 132:166252

TITLE: Preparation of novel thiazolopyrimidines as modulators

of chemokine receptor activity

INVENTOR(S): Austin, Rupert; Baxter, Andrew; Bonnert, Roger; Hunt,

> Fraser; Kinchin, Elizabeth; Willis, Paul Astra Pharmaceuticals Ltd., UK; Astra AB

SOURCE: PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.					KIND DATE				APPLICATION NO.				DATE				
WO	2000009511				A1		20000224			WO 1999-SE1333				19990803			
	W:	ΑE,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	ΒG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,
		DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,
		JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,
		MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,
		TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW					
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,
		ES,	FΙ,	FR,	GB,	GR,	IE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,
		CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG					
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CA	2338600																
AU								AU 1999-56625					19990803				
AU	768004			B2 20031127													
ΕP	1104425			A1	A1 20010606				EP 1999-943554					19990803			
ΕP	1104425			B1 20030129													
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO										
JΡ	JP 2002522544				T	20020723			JP 2000-564962				19990803				
ΑT	231872				T 20030215			AT 1999-943554									
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OTHER SOURCE(S): MARPAT 132:166252

259101-56-9P 259101-61-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of novel thiazolopyrimidines as modulators of chemokine receptor activity)

259101-56-9 CAPLUS RN

1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-61-6 CAPLUS CN 1-Propanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

259100-39-5P 259100-40-8P 259100-44-2P ΤТ 259100-45-3P 259100-66-8P 259100-67-9P 259100-68-0P 259100-69-1P 259100-70-4P 259100-71-5P 259100-72-6P 259100-73-7P 259100-74-8P 259100-75-9P 259100-76-0P 259100-77-1P 259100-78-2P 259100-79-3P 259100-80-6P 259100-81-7P 259100-82-8P 259101-05-8P 259101-06-9P 259101-07-0P 259101-08-1P 259101-09-2P 259101-10-5P 259101-11-6P 259101-12-7P 259101-13-8P 259101-18-3P 259101-19-4P 259101-20-7P 259101-21-8P 259101-22-9P 259101-23-0P 259101-24-1P 259101-25-2P 259101-26-3P 259101-27-4P 259101-28-5P 259101-29-6P 259101-30-9P 259101-31-0P 259101-32-1P 259101-33-2P 259101-34-3P 259101-35-4P 259101-36-5P 259101-37-6P 259101-38-7P 259101-39-8P 259101-40-1P 259101-41-2P 259101-42-3P 259101-43-4P 259101-44-5P 259101-51-4P 259101-52-5P 259101-53-6P 259101-54-7P 259101-55-8P 259101-57-0P 259101-58-1P 259101-59-2P 259101-60-5P 259101-62-7P 259101-63-8P 259101-65-0P 259101-66-1P 259101-67-2P 259101-68-3P 259101-69-4P 259101-70-7P 259101-71-8P 259101-72-9P 259101-73-0P 259101-74-1P 259101-75-2P 259101-77-4P 259101-78-5P 259101-80-9P 259101-81-0P 259101-82-1P

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259102-36-8P 259102-37-9P 259102-38-0P
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259103-25-8P 259103-26-9P 259103-28-1P
259103-32-7P 259103-33-8P 259103-34-9P
259103-35-0P 259104-23-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation of novel thiazolopyrimidines as modulators of chemokine
   receptor activity)
259100-39-5 CAPLUS
1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-
vl]amino]-, (2R)- (CA INDEX NAME)
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Absolute stereochemistry.

RN

CN

RN 259100-40-8 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259100-44-2 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259100-45-3 CAPLUS

CN Ethanol, 2-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-(CA INDEX NAME)

$$\begin{array}{c|c} \text{HO-CH}_2\text{-CH}_2\text{-NH} \\ \hline \\ \text{Me- (CH}_2)_4\text{-S} \end{array}$$

RN 259100-66-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[3-(dimethylamino)propyl]-5-(pentylthio)- (CA INDEX NAME)

RN 259100-67-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[2-(diethylamino)ethyl]-5-(pentylthio)- (CA INDEX NAME)

RN 259100-68-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[2-(dimethylamino)ethyl]-5-(pentylthio)- (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-NH} \\ \\ \text{N} \\ \text{Me- (CH}_2)_4\text{-S} \end{array}$$

RN 259100-69-1 CAPLUS

CN 1-Propanol, 3-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-(CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO- (CH}_2)_3 - \text{NH} \\ & & \\ & & \\ \text{Me- (CH}_2)_4 - \text{S} & \text{N} \end{array}$$

RN 259100-70-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-cyclohexyl-5-(pentylthio)- (CA INDEX NAME)

RN 259100-71-5 CAPLUS

CN 1,2-Propanediol, 3-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{HO-CH}_2\text{-CH-CH}_2\text{-NH} \\ \\ \text{Me-(CH}_2)_4\text{-S} \\ \end{array}$$

RN 259100-72-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2-methoxyethyl)-5-(pentylthio)-(CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2\text{--CH}_2\text{--NH} \\ \hline \\ \text{N} \\ \text{Me- (CH}_2)_4\text{--S} \\ \end{array}$$

RN 259100-73-7 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-(pentylthio)-N7-propyl- (CA

INDEX NAME)

RN 259100-74-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-cyclopentyl-5-(pentylthio)- (CA INDEX NAME)

Me- (CH₂)
$$_4$$
-S N

RN 259100-75-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-cyclopropyl-5-(pentylthio)- (CA INDEX NAME)

RN 259100-76-0 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2-methylpropyl)-5-(pentylthio)-(CA INDEX NAME)

10575534.trn

RN 259100-77-1 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-(CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{Me-CH-CH}_2 - \text{NH} \\ \\ \text{N} \\ \text{Me-(CH}_2)_4 - \text{S} \\ \end{array}$$

RN 259100-78-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(1R,2S,4S)-bicyclo[2.2.1]hept-2-yl-5-(pentylthio)-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 259100-79-3 CAPLUS

CN Ethanol, 2-[2-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]ethoxy]- (CA INDEX NAME)

RN 259100-80-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2-methylbutyl)-5-(pentylthio)-(CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Et-CH-CH}_2 - \text{NH} \\ \\ \text{N} \\ \text{Me- (CH}_2)_4 - \text{S} \\ \end{array}$$

RN 259100-81-7 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-(pentylthio)thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259100-82-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[(2-aminophenyl)methyl]-5-(pentylthio)- (CA INDEX NAME)

$$H_2N$$

$$CH_2$$

$$NH$$

$$NH$$

$$Me^- (CH_2)_4 - S$$

$$N$$

RN 259101-05-8 CAPLUS

CN 1-Propanol, 3-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2,2-dimethyl- (CA INDEX NAME)

RN 259101-06-9 CAPLUS

CN Benzenemethanol, α -[[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]methyl]- (CA INDEX NAME)

RN 259101-07-0 CAPLUS

CN Benzenepropanol, β -[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (β R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-08-1 CAPLUS

CN Ethanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-09-2 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-10-5 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-11-6 CAPLUS

CN Benzenepropanol, β -[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-chloro- (CA INDEX NAME)

RN 259101-12-7 CAPLUS

CN 1,2-Propanediol, 3-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-13-8 CAPLUS

CN Ethanol, 2-[[2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]propylamino]- (CA INDEX NAME)

RN 259101-18-3 CAPLUS

CN 1-Propanol, 3-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2,2-dimethyl- (CA INDEX NAME)

RN 259101-19-4 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-20-7 CAPLUS

CN Benzenemethanol, α -[[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiaz olo[4,5-d]pyrimidin-7-yl]amino]methyl]- (CA INDEX NAME)

RN 259101-21-8 CAPLUS

CN 1-Butanol, 4-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

PhO
$$CH_2-S$$
 N N N NH_2 NH_2 NH_2 NH_3 NH_4 NH_4 NH_5 $NH_$

RN 259101-22-9 CAPLUS

CN 1-Hexanol, 6-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

PhO
$$CH_2-S$$
 N N NH_2 NH_2

259101-23-0 CAPLUS RN

CN Cyclohexanol, 4-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-methyl]thiazolo[4,5-methyl]thio]thiazolo[4,5-methyl]thiazolo[4d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-24-1 CAPLUS

Benzenepropanol, β -[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazol CN o[4,5-d]pyrimidin-7-yl]amino]-, (βR) - (CA INDEX NAME)

Absolute stereochemistry.

RN

259101-25-2 CAPLUS 1-Propanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-26-3 CAPLUS

CN Ethanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-27-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-28-5 CAPLUS

CN 2-Propanol, 1-amino-3-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-29-6 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-30-9 CAPLUS

CN 1,3-Propanediol, 2-[[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4, 5-d]pyrimidin-7-yl]amino]methyl]-2-ethyl- (CA INDEX NAME)

RN 259101-31-0 CAPLUS

CN Benzenepropanol, β -[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazol o[4,5-d]pyrimidin-7-yl]amino]-4-chloro- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{CH}_2 \\ \text{CH-CH}_2\text{-OH} \\ \text{NH} \\ \text{NH} \\ \text{PhO} \end{array}$$

RN 259101-32-1 CAPLUS

CN 1,2-Propanediol, 3-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-33-2 CAPLUS

CN Ethanol, 2-[[2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]amino]- (CA INDEX NAME)

HO-CH2-CH2-NH-CH2-CH2-NH

RN 259101-34-3 CAPLUS

CN 1-Propanol, 3-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-35-4 CAPLUS

CN Benzenepropanol, γ -[[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiaz olo[4,5-d]pyrimidin-7-yl]amino]methyl]-3,4-dichloro- (CA INDEX NAME)

C1
$$CH-CH_2-CH_2-OH$$

$$CH_2$$

$$NH$$

$$NH$$

$$S$$

$$NH_2$$

$$PhO$$

$$CH_2-S$$

$$N$$

RN 259101-36-5 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-37-6 CAPLUS

CN Ethanol, 2-[2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethoxy]- (CA INDEX NAME)

HO-CH2-CH2-O-CH2-CH2-NH

RN 259101-38-7 CAPLUS

CN 1-Pentanol, 5-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

PhO
$$CH_2-S$$
 N N NH_2 NH_2

RN 259101-39-8 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-(methylthio)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-40-1 CAPLUS

CN Ethanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]butylamino]- (CA INDEX NAME)

RN 259101-41-2 CAPLUS

CN Ethanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]propylamino]- (CA INDEX NAME)

RN 259101-42-3 CAPLUS

CN Ethanol, 2,2'-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]imino]bis- (9CI) (CA INDEX NAME)

RN 259101-43-4 CAPLUS

CN Phenol, 2-[[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl](2-hydroxyethyl)amino]methyl]- (CA INDEX NAME)

RN

259101-44-5 CAPLUS 1-Propanol, 3-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl](2-hydroxyethyl)amino]- (CA INDEX NAME) CN

259101-51-4 CAPLUS RN

1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-CN d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-52-5 CAPLUS CN 1-Butanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-53-6 CAPLUS

CN 1-Butanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-54-7 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

10575534.trn

RN 259101-55-8 CAPLUS

CN 1-Propanol, 2-[[2-amino-5-[[(3-phenoxyphenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-57-0 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-58-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[[(2,3-difluorophenyl)methyl]thio]-N7-(2-fluoroethyl)- (CA INDEX NAME)

RN 259101-59-2 CAPLUS

CN Cyclopentanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (1R,2R)- (CA INDEX NAME)

RN 259101-60-5 CAPLUS

CN Cyclopentanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-62-7 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-(methylamino)-5-[(phenylmethyl)thio]thiazolo[4, 5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{HO-CH}_2\text{-}\text{C-NH} \\ & \text{Me} \\ & \text{N} \\ & \text{Ph-CH}_2\text{-}\text{S} \\ & \text{N} \end{array}$$

RN 259101-63-8 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-

[(phenylmethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-65-0 CAPLUS

CN $1-Butanol, \ 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-difluorophenyl]]$ d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

259101-66-1 CAPLUS RN

Cyclohexanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-difluorophenyl]CN d]pyrimidin-7-yl]amino]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN

259101-67-2 CAPLUS 1-Propanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-difluorophenyl]CN d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-68-3 CAPLUS

CN Ethanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-69-4 CAPLUS

CN 1-Pentanol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-70-7 CAPLUS

CN 2-Propanol, 1-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-71-8 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-72-9 CAPLUS

CN Cyclohexanol, 1-[[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]methyl]- (CA INDEX NAME)

RN 259101-73-0 CAPLUS

CN Ethanol, 2-[[2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]amino]- (CA INDEX NAME)

 ${\tt HO-CH_2-CH_2-NH-CH_2-CH_2-NH}$

RN 259101-74-1 CAPLUS

CN Ethanol, 2-[2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]ethoxy]- (CA INDEX NAME)

 ${\tt HO-CH_2-CH_2-O-CH_2-CH_2-NH}$

RN 259101-75-2 CAPLUS

CN Benzenemethanol, $\alpha-[(1R)-1-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]methylamino]ethyl]-, <math>(\alpha S)-(CA\ INDEX\ NAME)$

Absolute stereochemistry.

RN 259101-77-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[[(2,3-difluorophenyl)methyl]thio]-N7-ethyl- (CA INDEX NAME)

10575534.trn

RN 259101-78-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[[(2,3-difluorophenyl)methyl]thio]-N7-2-propenyl- (9CI) (CA INDEX NAME)

RN 259101-80-9 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-1-phenyl-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-81-0 CAPLUS

CN 1,3-Propanediol, 2-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259101-82-1 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[[(2,3-difluorophenyl)methyl]thio]-N7-(2-methoxy-1-methylethyl)- (CA INDEX NAME)

RN 259101-83-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-cyclopropyl-5-[[(2,3-difluorophenyl)methyl]thio]- (CA INDEX NAME)

RN 259101-84-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-[[(2,3-difluorophenyl)methyl]thio]-N7-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 259101-85-4 CAPLUS

CN L-Serine, N-[5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxy-1,1-dimethylethyl)amino]thiazolo[4,5-d]pyrimidin-2-yl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 259101-86-5 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(1-methylethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(CA INDEX NAME)

RN 259101-87-6 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2- (ethylamino)thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-88-7 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[[2-(1H-indol-3-yl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{HO-CH}_2\text{-}\text{C-NH} \\ & \text{Me} \\ & \text{S} \\ & \text{NH-CH}_2\text{-}\text{CH}_2 \\ & \text{N} \\ & \text{H} \end{array}$$

RN 259101-89-8 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(1-naphthalenylmethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(CA INDEX NAME)

RN 259101-90-1 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(2,2-diphenylethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-91-2 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(2,2,2-trifluoroethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-92-3 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[[(3,4,5-

trimethoxyphenyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2methyl- (CA INDEX NAME)

RN 259101-93-4 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(1,1-dimethylethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-94-5 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-95-6 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(4-methylcyclohexyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-96-7 CAPLUS

CN Acetamide, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxy-1,1-dimethylethyl)amino]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

F

$$CH_2-S$$
 N
 N
 N
 $NH-CH_2-C-NH_2$
 Me
 $NH-C-CH_2-OH$
 Me

RN 259101-97-8 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(4-aminophenyl)ethyl]amino]-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(CA INDEX NAME)

RN 259101-98-9 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[(2-fluoroethyl)amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259101-99-0 CAPLUS

CN 1-Propanol, 2-[[2-(cyclopropylamino)-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(CA INDEX NAME)

RN 259102-00-6 CAPLUS

CN 1-Pentanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxy-1,1-dimethylethyl)amino]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

RN 259102-01-7 CAPLUS

CN 1-Propanol, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2-[[2-(2-hydroxyethoxy)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl-(CA INDEX NAME)

F
$$CH_2-S$$
 N $NH-CH_2-CH_2-O-CH_2-CH_2-OH$ Me $NH-C-CH_2-OH$ Me Me Me

RN 259102-10-8 CAPLUS

CN 1-Propanol, 2-[[2-(cyclohexylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259102-11-9 CAPLUS

CN 1-Propanol, 2-[[2-[(1,1-dimethylethyl)amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259102-12-0 CAPLUS

CN Alanine, N-[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]-, methyl ester (CA INDEX NAME)

RN 259102-13-1 CAPLUS

CN Cyclohexanol, 4-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

RN 259102-14-2 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(4-phenylbutyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & | \\ & | \\ & | \\ & \text{HO-CH}_2-\text{C-NH} \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\ & | \\$$

RN 259102-15-3 CAPLUS

CN 1-Propanol, 2-methyl-2-[[5-[(phenylmethyl)thio]-2-[[(tetrahydro-2-furanyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ HO-CH_2-C-NH \\ \hline \\ Me \\ \hline \\ N \\ \hline \\ NH-CH_2-S \\ \end{array}$$

RN 259102-16-4 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259102-17-5 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(4-aminophenyl)ethyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{HO-CH}_2\text{-C-NH} \\ & \text{Me} \\ & \text{N} \\ & \text{NH-CH}_2\text{-CH}_2 \\ \\ & \text{Ph-CH}_2\text{-S} \\ & \text{N} \end{array}$$

RN 259102-18-6 CAPLUS

CN L-Valine, N-[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]-, ethyl ester (CA INDEX NAME)

RN 259102-19-7 CAPLUS

CN Pentanamide, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-4-methyl-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 259102-20-0 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(2-phenylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO-CH}_2\text{-C-NH} \\ \text{Me} \\ \text{N} \\ \text{Ph-CH}_2\text{-S} \\ \text{N} \\ \end{array}$$

RN 259102-21-1 CAPLUS

CN 1-Propanol, 2-[[2-[[(4-aminophenyl)methyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{HO-CH}_2\text{-C-NH} \\ & \text{Me} \\ & \text{N} \\ & \text{NH-CH}_2 \\ & \text{Ph-CH}_2\text{-S} \end{array}$$

RN 259102-22-2 CAPLUS

CN 1-Propanol, 2-methyl-2-[[5-[(phenylmethyl)thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \text{HO-CH}_2\text{-C-NH} \\ \text{Me} & \\ \text{N} & \\ \text{N} & \\ \text{NH-CH}_2\text{-CH}_2 \\ \end{array}$$

RN 259102-23-3 CAPLUS

CN 1-Propanol, 2-[[2-[(2-fluoroethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4, 5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259102-24-4 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[[(3-nitrophenyl)methyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259102-25-5 CAPLUS

CN Benzenemethanol, $\alpha-[(1S)-1-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]-, (<math>\alpha$ R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-26-6 CAPLUS

CN 1-Propanol, 2-methyl-2-[[5-[(phenylmethyl)thio]-2-[[(3,4,5-trimethoxyphenyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259102-27-7 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[[(1R,2S)-2-phenylcyclopropyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259102-28-8 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(1H-indol-3-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259102-29-9 CAPLUS

CN 1-Propanol, 2-[[2-[(1,1-dimethylpropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ \text{HO-CH}_2\text{--}\text{C-NH} & & \text{Me} \\ & & \text{Me} & & \\ & & \text{Me} & & \\ & & \text{NH-C-Et} \\ \\ \text{Ph-CH}_2\text{--}\text{S} & & & \\ \end{array}$$

RN 259102-30-2 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(1-methylbutyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259102-31-3 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(1-methylhexyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \text{HO-CH}_2\text{-C-NH} & \\ \text{Me} & \\ \text{Me} & \\ \text{N} & \\ \text{NH-CH-(CH}_2\text{)}_4\text{-Me} \\ \\ \text{Ph-CH}_2\text{-S} & \\ \text{N} & \\ \end{array}$$

RN 259102-32-4 CAPLUS

CN 1-Propanol, 2-[[2-[[(2-aminophenyl)methyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259102-33-5 CAPLUS

CN 1,3-Propanediol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ & \text{HO-CH}_2\text{-C-NH} \\ & \text{Me} & \text{CH}_2\text{-OH} \\ & \text{NH-CH-CH}_2\text{-OH} \\ \\ \text{Ph-CH}_2\text{-S} & \text{N} & \text{NH-CH-CH}_2\text{-OH} \\ \end{array}$$

RN 259102-34-6 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(ethylthio)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \text{HO-CH}_2\text{-C-NH} \\ \text{Me} & \text{NH-CH}_2\text{-CH}_2\text{-SEt} \\ \text{Ph-CH}_2\text{-S} & \text{N} \end{array}$$

RN 259102-35-7 CAPLUS

CN 1-Butanol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-3,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-36-8 CAPLUS

CN Benzenemethanol, $\alpha-[(1R)-1-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-2-methoxyethyl]-, (<math>\alpha$ S)- (CA INDEX NAME)

RN 259102-37-9 CAPLUS

CN 1-Propanol, 2-[[2-(ethylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259102-38-0 CAPLUS

CN 1-Propanol, 2-[[2-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA
INDEX NAME)

RN 259102-39-1 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(1-methylpropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259102-40-4 CAPLUS

CN 1-Propanol, 2-[[2-[[(4-methoxyphenyl)methyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259102-41-5 CAPLUS

CN 1-Propanol, 2-[[2-[(2-hydroxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ \text{HO-CH}_2\text{-C-NH} & & \\ & \text{Me} & & \\ & \text{N} & & \\ & \text{NH-CH}_2\text{-CH}_2\text{-OH} \\ \\ \text{Ph-CH}_2\text{-S} & & \text{N} \end{array}$$

RN 259102-42-6 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \mid \\ & \mid \\ & \text{HO-CH}_2-\text{C-NH} \\ & \mid \\ & \text{Me} \\ & \text{N} \\ & \text{NH-CH}_2-\text{CH}_2 \\ & \text{N} \\ & \text{Ph-CH}_2-\text{S} \\ & \text{N} \end{array}$$

RN 259102-43-7 CAPLUS

CN 1-Propanol, 2-[[2-[(diphenylmethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

RN 259102-44-8 CAPLUS

CN 1-Butanol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-45-9 CAPLUS

CN 1-Propanol, 2-[[2-[(2,2-diethoxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \text{Me} \\ & \mid & \\ & \mid & \\ \text{HO-CH}_2-\text{C-NH} & & \text{OEt} \\ & \mid & \\ & \mid & \\ \text{Me} & & \\ & \mid & \\ & \mid & \\ \text{Ph-CH}_2-\text{S} & & \\ & N & \\ \end{array}$$

RN 259102-46-0 CAPLUS

CN 1-Butanol, 4-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & | \\ & | \\ & | \\ & | \\ & \text{Me} \\ & \\ & \text{NH- (CH_2)}_4 - \text{OH} \\ \\ & \text{Ph- CH_2- S} \\ & \text{N} \end{array}$$

RN 259102-47-1 CAPLUS

CN Cyclohexanol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-48-2 CAPLUS

CN 1-Propanol, 2-[[2-[(2-hydroxy-1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ & \text{HO-CH}_2\text{-C-NH} \\ & \text{Me} & \text{Me} \\ & \text{NH-CH-CH}_2\text{-OH} \\ \\ \text{Ph-CH}_2\text{-S} & \text{N} \end{array}$$

RN 259102-49-3 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \downarrow \\ & \text{HO-CH}_2-\text{C-NH} \\ & \downarrow \\ & \text{Me} \\ & \text{N} \\ & \text{NH-CH}_2-\text{CH}_2-\text{O-CH}_2-\text{CH}_2-\text{OH} \\ \\ & \text{Ph-CH}_2-\text{S} \\ & \text{N} \end{array}$$

RN 259102-50-6 CAPLUS

CN 1-Pentanol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \text{Me} \\ & \mid & \\ & \mid & \\ \text{HO-CH}_2-\text{C-NH} & & \\ & \mid & \\ \text{Me} & & \\ & \mid & \\ \text{NH-CH-Pr-n} \\ \\ \text{Ph-CH}_2-\text{S} & & \\ \end{array}$$

RN 259102-51-7 CAPLUS

CN Acetamide, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ \text{HO-CH}_2\text{-}\text{C-NH} & & \text{O} \\ & & \text{Me} & & \\ & & \text{N} & & \text{NH-CH}_2\text{-}\text{C-NH}_2 \\ \\ \text{Ph-CH}_2\text{-}\text{S} & & \text{N} & & \\ \end{array}$$

RN 259102-52-8 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(4-fluorophenyl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ HO-CH_2-C-NH \\ \hline \\ Me \\ \hline \\ N \\ \hline \\ NH-CH_2-CH_2 \\ \hline \\ Ph-CH_2-S \\ \end{array}$$

RN 259102-53-9 CAPLUS

CN Cyclohexanol, 2-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]-, (1R,2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-54-0 CAPLUS

CN Benzenemethanol, $\alpha-[(1R)-1-[[7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]-, (<math>\alpha$ S)- (CA INDEX NAME)

10575534.trn

RN 259102-57-3 CAPLUS

CN 1-Propanol, 2-[[2-(methylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259102-58-4 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[2-(methylamino)-5-[(phenylmethyl)thio]thiazolo[4, 5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-59-5 CAPLUS

CN L-Serine, N-[2-(methylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]-, ethyl ester (CA INDEX NAME)

10575534.trn

RN 259102-61-9 CAPLUS

CN 1-Butanol, 2-[[5-[(phenylmethyl)thio]-2-[[(tetrahydro-2-furanyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ & \text{Et-CH-NH} \\ & \\ & \text{NH-CH2-S} \\ \end{array}$$

RN 259102-62-0 CAPLUS

CN 1-Propanol, 2-[[5-[(phenylmethyl)thio]-2-[[(tetrahydro-2-furanyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & & \\$$

RN 259102-63-1 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[5-[(phenylmethyl)thio]-2-[[(tetrahydro-2-furanyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

RN 259102-64-2 CAPLUS

CN L-Serine, N-[5-[(phenylmethyl)thio]-2-[[(tetrahydro-2-furanyl)methyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-66-4 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO-CH}_2\text{-CH-NH} \\ \\ \text{N} \\ \text{Ph-CH}_2\text{-S} \\ \end{array} \\ \text{N} \\ \text{NH-CH}_2\text{-CH}_2\text{-O-CH}_2\text{-CH}_2\text{-OH} \\ \\ \text{N} \\$$

RN 259102-68-6 CAPLUS

CN Benzenesulfonamide, 4-[2-[[7-[[1-(hydroxymethyl)propyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH}_2 & \text{O} \\ & \text{Et-CH-NH} & \text{S-NH}_2 \\ & & \text{N} & \text{NH-CH}_2\text{-CH}_2 \\ & \text{Ph-CH}_2\text{-S} & \text{N} \end{array}$$

RN 259102-69-7 CAPLUS

CN Benzenesulfonamide, 4-[2-[[7-[(2-hydroxy-1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & & \text{O} \\ & \text{HO-CH}_2\text{-CH-NH} & & \text{S-NH}_2 \\ & & \text{N} & \text{NH-CH}_2\text{-CH}_2 & & \text{O} \\ & & \text{Ph-CH}_2\text{-S} & & \text{N} \end{array}$$

RN 259102-70-0 CAPLUS

CN Benzenesulfonamide, 4-[2-[[7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-71-1 CAPLUS

CN Benzenesulfonamide, 4-[2-[[7-[(2-hydroxypropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{O} \\ \text{Me-CH-CH}_2 - \text{NH} & \text{O} \\ \text{N} & \text{NH-CH}_2 - \text{CH}_2 \\ \end{array}$$

RN 259102-73-3 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-ethyl-N2-[2-(1H-imidazol-4-yl)ethyl]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NHEt} \\ & \\ & \\ \text{N} \\ \end{array}$$

RN 259102-74-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N2-[2-(1H-imidazol-4-yl)ethyl]-5-[(phenylmethyl)thio]-N7-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ N & & \\ & & & \\ & &$$

RN 259102-75-5 CAPLUS

CN 1-Butanol, 2-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ & \text{Et-CH-NH} \\ & \text{N} \\ & \text{NH-CH2-CH2} \\ & \text{N} \\ & \text{Ph-CH2-S} \\ \end{array}$$

RN 259102-76-6 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO-CH}_2\text{-CH-NH} \\ \\ \text{N} \\ \text{Ph-CH}_2\text{-S} \\ \\ \text{N} \\ \end{array}$$

RN 259102-77-7 CAPLUS

CN 1-Pentanol, 2-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-78-8 CAPLUS

CN 2-Propanol, 1-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-79-9 CAPLUS

CN 1-Pentanol, 2-[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 259102-82-4 CAPLUS

CN Ethanol, 2-[ethyl[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & & \\ & \text{N-CH}_2\text{-CH}_2\text{-OH} \\ & & \text{N} \\ & & \text{N} \\ & & \text{N} \\ & & \text{N} \\ & & \text{Ph-CH}_2\text{-S} \end{array}$$

RN 259102-83-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N2-[2-(1H-imidazol-4-yl)ethyl]-N7,N7-dimethyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NMe2} \\ & \text{N} \\ & \text{NH-CH2-CH2} \\ & \text{N} \\ & \text{Ph-CH2-S} \\ \end{array}$$

RN 259102-84-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-[2-(diethylamino)ethyl]-N7-ethyl-N2-[2-(1H-imidazol-4-yl)ethyl]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

RN 259102-86-8 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N2-(2-phenoxyethyl)-5-[(phenylmethyl)thio]-N7-(3-pyridinylmethyl)- (CA INDEX NAME)

RN 259102-87-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N2-(2-phenoxyethyl)-N7-[1-(phenylmethyl)-4-piperidinyl]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

RN 259102-88-0 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[(2-phenoxyethyl)amino]-5-

[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \text{HO-CH}_2\text{-C-NH} \\ \\ \text{Me} & \\ \\ \text{N} & \\ \\ \text{NH-CH}_2\text{-CH}_2\text{-OPh} \\ \\ \text{Ph-CH}_2\text{-S} & \\ \\ \text{N} & \\ \end{array}$$

RN 259102-89-1 CAPLUS

CN 1-Propanol, 2-[[2-[(2-phenoxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259102-90-4 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[2-[(2-phenoxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-93-7 CAPLUS

CN 1-Butanol, 2-[[2-(cyclopropylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

10575534.trn

RN 259102-94-8 CAPLUS

CN 1-Propanol, 2-[[2-(cyclopropylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259102-95-9 CAPLUS

CN 1-Pentanol, 2-[[2-(cyclopropylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259102-96-0 CAPLUS

CN L-Serine, N-[2-(cyclopropylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]-, ethyl ester (CA INDEX NAME)

RN 259102-98-2 CAPLUS

CN 1-Pentanol, 2-[[2-[[1-(hydroxymethyl)butyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 259102-99-3 CAPLUS

CN L-Serine, N-[2-[[1-(hydroxymethyl)butyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 259103-00-9 CAPLUS

CN 1-Pentanol, 2-[[7-[cyclohexyl(2-hydroxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

RN 259103-01-0 CAPLUS

CN Ethanol, 2-[2-[[7-(ethylamino)-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethoxy]- (CA INDEX NAME)

RN 259103-02-1 CAPLUS

CN Ethanol, 2-[2-[[7-[(1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4, 5-d]pyrimidin-2-yl]amino]ethoxy]- (CA INDEX NAME)

RN 259103-03-2 CAPLUS

CN 1-Butanol, 2-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{HO-CH}_2\\ \text{Et-CH-NH}\\ \\ \text{N}\\ \text{Ph-CH}_2\text{-S}\\ \\ \text{N}\\ \end{array}$$

RN 259103-04-3 CAPLUS

CN 1-Pentanol, 2-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 259103-05-4 CAPLUS

CN Ethanol, 2-[cyclohexyl[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259103-06-5 CAPLUS

CN 1-Propanol, 2-[[5-[(phenylmethyl)thio]-2-(4-piperidinylamino)thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259103-08-7 CAPLUS

CN Acetamide, N-[2-[[7-[[1-(hydroxymethyl)propyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH}_2\\ & \text{Et-CH-NH} \\ & \\ & \text{NH-CH}_2\text{-}\text{CH}_2\text{-}\text{NHAc} \\ \\ & \text{Ph-CH}_2\text{-}\text{S} \\ & \text{N} \end{array}$$

RN 259103-09-8 CAPLUS

CN Acetamide, N-[2-[[7-[(2-hydroxy-1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{HO-CH}_2\text{-CH-NH} \\ & & \text{NH-CH}_2\text{-CH}_2\text{-NHAc} \\ \\ & \text{Ph-CH}_2\text{-S} \end{array}$$

RN 259103-10-1 CAPLUS

CN Acetamide, N-[2-[[7-[(2-hydroxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (CA INDEX NAME)

RN 259103-11-2 CAPLUS

CN Acetamide, N-[2-[[7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 259103-13-4 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2-methoxyethyl)-5-[(phenylmethyl)thio]-N2-[2-(2-thienyl)ethyl]- (CA INDEX NAME)

RN 259103-14-5 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2-ethoxyethyl)-5-[(phenylmethyl)thio]-N2-[2-(2-thienyl)ethyl]- (CA INDEX NAME)

RN 259103-15-6 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, N7-(2,2-dimethylpropyl)-5-[(phenylmethyl)thio]-N2-[2-(2-thienyl)ethyl]- (CA INDEX NAME)

RN 259103-16-7 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[5-[(phenylmethyl)thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259103-17-8 CAPLUS

CN 2-Propanol, 1-[[5-[(phenylmethyl)thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259103-18-9 CAPLUS

CN 1-Butanol, 2-[[5-[(phenylmethyl)thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ & \text{Et-CH-NH} \\ & \\ & \text{NH-CH2-CH2} \\ & \\ & \text{Ph-CH2-S} \\ \end{array}$$

RN 259103-19-0 CAPLUS

CN 1-Propanol, 2-[[5-[(phenylmethyl)thio]-2-[[2-(2-thienyl)ethyl]amino]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{HO-CH}_2\text{-CH-NH} \\ & & \text{N} \\ & \text{Ph-CH}_2\text{-S} \end{array}$$

RN 259103-21-4 CAPLUS

CN 1-Pentanol, 2-[[2-[(2-hydroxyethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259103-24-7 CAPLUS

CN 1-Pentanol, 2-[[2-[(3-hydroxypropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259103-25-8 CAPLUS

CN 1-Butanol, 2-[[2-[(3-hydroxypropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$HO-CH_2$$
 $Et-CH-NH$
 S
 $NH-(CH_2)_3-OH$
 $Ph-CH_2-S$
 N

RN 259103-26-9 CAPLUS

CN 1-Propanol, 2-[[2-[(3-hydroxypropyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259103-28-1 CAPLUS

CN Acetamide, 2-[[7-[(2-hydroxy-1-methylethyl)amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} \\ \text{HO-CH}_2\text{-CH-NH} & \text{O} \\ \text{N} & \text{NH-CH}_2\text{-C-NH}_2 \\ \text{Ph-CH}_2\text{-S} & \text{N} \end{array}$$

RN 259103-32-7 CAPLUS

CN 1-Propanol, 3-[[2-[[2-(4-morpholinyl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

RN 259103-33-8 CAPLUS

CN 1-Propanol, 2-methyl-2-[[2-[[2-(4-morpholinyl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{HO-CH}_2\text{-C-NH} \\ & \text{Me} \\ & \text{N} \\ & \text{NH-CH}_2\text{-CH}_2 \\ & \text{N} \\ & \text{Ph-CH}_2\text{-S} \end{array}$$

RN 259103-34-9 CAPLUS

CN 1-Propanol, 2-[[2-[[2-(4-morpholinyl)ethyl]amino]-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{HO-CH}_2\text{-CH-NH} \\ & \text{N} \\ & \text{NH-CH}_2\text{-CH}_2 \\ & \text{N} \\ & \text{Ph-CH}_2\text{-S} \end{array}$$

RN 259103-35-0 CAPLUS

CN 1-Pentanol, 4-methyl-2-[[2-[[2-(4-morpholinyl)ethyl]amino]-5[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 259104-23-9 CAPLUS

CN 1-Butanol, 4-[[2-amino-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7-yl]amino]- (CA INDEX NAME)

GΙ

AB The title compds. [I; R1 = H, NR3R4 (wherein R3, R4 = H, 4-piperidinyl, alkyl, etc.; NR3R4 = (un)substituted 4-7 membered saturated heterocyclic ring); X = OH, NR13R14 (R13, R14 = H, 4-piperidinyl, etc.; NR13R14 = (un)substituted 4-7 membered saturated heterocyclic ring); R2 = alkyl, alkenyl optionally substituted by (un)substituted Ph or PhO], useful for treating a chemokine mediated disease wherein the chemokine binds to a CXCR2 receptor such as an inflammatory disease (e.g. psoriasis), were prepared Thus, treating 2-amino-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-7(4H)-one with POCl3 and N,N-dimethylaniline followed by reacting the resulting 7-chloro-5-[(phenylmethyl)thio]thiazolo[4,5-d]pyrimidin-2-amine with (R)-2-amino-1-butanol in THF afforded the title thiazolopyrimidine (2R)-II. Exemplified compds. I were found to have IC50 of < 10 μM against hrCXCR2 binding.

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10575534.trn

L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:243961 CAPLUS

DOCUMENT NUMBER: 125:10744

TITLE: New synthesis of substituted 4-aminoquinazolines and

their hetero analogs

AUTHOR(S): Gewald, K.; Schaefer, H.; Eckert, K.; Jeschke, T. CORPORATE SOURCE: Inst. Org. Chem., Technischen Univ. Dresden, Dresden,

Germany

SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung

(1996), 338(3), 206-13

CODEN: JPCCEM; ISSN: 0941-1216

PUBLISHER: Barth
DOCUMENT TYPE: Journal
LANGUAGE: German

OTHER SOURCE(S): CASREACT 125:10744

IT 177356-01-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of substituted aminoquinazolines and their hetero analogs)

RN 177356-01-3 CAPLUS

CN Acetamide, 2-[[7-amino-2-[(phenylmethyl)amino]thiazolo[4,5-d]pyrimidin-5-yl]thio]-N-(phenylmethyl)- (CA INDEX NAME)

GI

N-(chloroacetyl)anthranilonitrile reacted with KSCN in the presence of EtOH to the quinazolinylthioacetic acid ester I (R = SCH2CO2Et, R1 = H). In the presence of H2O or primary amine the derivs. I (R = SCH2CO2H, SCH2CONHR2) were obtained. Diaminoquinazolines I [R = NR2R3; R1, R2 = CH2Ph, NH2, R3 = H; R1 = H, R2R3 = -(CH2)5-] arose if vigorous reaction conditions are employed. Starting from 2-(chloroacetyl)aminocyclopent-1-enecarbonitrile the pyrimidines II [R = SCH2COR4; R4 = OH, OMe, NHCH2Ph, HNNH2, NH(CH2)2NEt2] were formed with KSCN. Analogously, pyrimidylselenoacetic acid derivs. were prepared with KSeCN. N-chloroacetyl derivs. of 5-membered heterocycles with enaminonitrile structure reacted with KSCN to yield thieno[2,3-d]-, thiazolo[4,5-d]-, pyrrolo[2.3-d]-, furo[2,3-d], and pyrazolo[4,3-d]pyrimidines.

10575534.trn

L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1971:22787 CAPLUS

DOCUMENT NUMBER: 74:22787
ORIGINAL REFERENCE NO.: 74:3687a,3690a

TITLE: Synthesis of derivatives of thiazolo[4,5-d]pyrimidine.

ΙI

AUTHOR(S): Baker, James Albert; Chatfield, P. V.

CORPORATE SOURCE: Sch. Pharm., Brighton Polytech., Moulsecoomb/Brighton,

UK

SOURCE: Journal of the Chemical Society [Section] C: Organic

(1970), (18), 2478-84

CODEN: JSOOAX; ISSN: 0022-4952

DOCUMENT TYPE: Journal LANGUAGE: English

IT 30162-34-6P, Acetamide, N-[3-acetyl-2,3-dihydro-2-imino-5-

(methylthio)thiazolo[4,5-d]pyrimidin-7-yl]-

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 30162-34-6 CAPLUS

CN Acetamide, N-[3-acetyl-2,3-dihydro-2-imino-5-(methylthio)thiazolo[4,5-

d]pyrimidin-7-yl]- (CA INDEX NAME)

RN

GI For diagram(s), see printed CA Issue.

AB An attempt to prepare thiazolo[4,5-d]pyrimidine-5,7-diol (I) by the action of KOBr on thiazole-4,5-dicarboxamide revealed the instability of the thiazole portion of this condensed ring system when 2-substituents are lacking. The product obtained was bis(4-amino-2,6-dihydroxypyrimidin-5-yl) disulfide, contrary to an earlier report. Thiazolo[4,5-d]pyrimidines were prepared by cyclization of the corresponding 4-aminopyrimidin-5-yl thiocyanates. Deamination of aminothiazolo[4,5-d]pyrimidines, with nitrous acid, has given a number of derivs. among which is the analog of uric acid.

10575534.trn

L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1955:84542 CAPLUS

DOCUMENT NUMBER: 49:84542

ORIGINAL REFERENCE NO.: 49:15981q-i,15982a-b

TITLE: 2-Amino-5,7-disubstituted thiazolo(4,5-d)pyrimidines

PATENT ASSIGNEE(S): Wellcome Foundation Ltd.

DOCUMENT TYPE: Patent LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 713652		19540818	GB 1951-13173	19510604
ΙT	30162-03-9P, Thiazolo[4,5-d]pyrimidine, 2,7-diamino-5-(methylthio)-				
	RL: PREP (Preparati	on)			
	(preparation of)				
RN	30162-03-9 CAPLUS				
CN	Thiazolo[4,5-d]pyri	midine-	2,7-diamine,	5-(methylthio)-	(CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

The preparation of I is covered, in which R is H, Me, NH2, OH, or ZS (Z = alkyl group containing 1 to 5 C atoms) and R' is NH2, OH, or Me with either R or R' being OH or NH2. To 2,4-diamino-6-methylpyrimidine (12.4 g.) and 40 g. KSCN in 200 ml. 96% AcOH was added in subdued light with ice-cooling and stirring, 6 ml. Br in 100 ml. HOAc, stirred an addnl. 40 min., the temperature was raised to 70°, 50 ml. of H2O added and light admitted to increase the polymerization rate of the excess thiocyanogen (II). The insol. orange polymer of II was filtered from the hot solution, the filtrate cooled in an ice-bath and made alkaline by the addition of concentrated NH4OH.

The

resulting yellow solid was let stand overnight at 5° . and filtered to yield $10.1~\rm g.~2,5-diamino-7-methylthiazolo-(4,5-d)$ pyrimidine hydrate, m. $249-50^{\circ}$ (from 95° EtOH). In an analogous manner, the following I were prepared from the appropriate pyrimidine (R, R', m.p. given): NH2, Me, $>300^{\circ}$; NH2, NH2, $>300^{\circ}$; OH, OH, $>310^{\circ}$; NH2, MeS, $208-9^{\circ}$; OH, NH2, $>310^{\circ}$; NH2, H, $245-7^{\circ}$. Most of these compds. are monohydrates; the H2O of hydration is lost at 140° in vacuo, but is regained upon exposure to air. These compds. are growth-inhibitors for microorganisms, being particularly active for Lactobacillus casei, Bacillus subtilis, Salmponella typhosa, Staphylococcus aureus, and Escherichia coli.

L4 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1952:23490 CAPLUS

DOCUMENT NUMBER: 46:23490
ORIGINAL REFERENCE NO.: 46:4008c-i

TITLE: Condensed pyrimidine systems. VI. Some 2-aminothiazolo[4, 5-d]-pyrimidines

CORPORATE SOURCE: Wellcome Research Labs., Tuckahoe, NY

SOURCE: Journal of the American Chemical Society (1951), 73,

4226-8

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

IT 30162-03-9P, Thiazolo[4,5-d]pyrimidine, 2,7-diamino-5-(methylthio)-

RL: PREP (Preparation) (preparation of) 30162-03-9 CAPLUS

CN Thiazolo[4,5-d]pyrimidine-2,7-diamine, 5-(methylthio)- (CA INDEX NAME)

RN

AB cf. C.A. 45, 8536h. Thiocyanation in the 5-position occurs readily with pyrimidines bearing at least 2 OH or NH2 groups in positions 2, 4, and 6. The 4-amino-5-thiocyanopyrimidines are readily cyclized to 2-aminothiazolo[4, 5-d]pyrimidines. An alternate synthesis of thiazolo[4, 5-d]pyrimidines bearing nonfunctional substituents in the 2- and 4-position was also developed. Two general methods of preparation of thiazolopyrimidines were used: Method A. 2, 4-Diamino-6-methylpyrimidine (12.4 g.) and 40 g. KSCN in 200 cc. 96% AcOH treated with 6 cc. Br in 100 cc. AcOH during 30 min. (ice bath and darkened room), the ice bath removed, the mixture stirred 40 min., heated to 70°, diluted with 50 cc. hot water (lights on), filtered hot, the filtrate (ice bath) slowly made alkaline with concentrated NH4OH, and the product allowed to stand overnight at

5° yielded 10.1 g. 2, 5-diamino-7-methylthiazolo-[4, 5-d]pyrimidine-H2O, m. 249-50° (from EtOH). The hydrates lose water of crystallization after 3 hrs. at 140° in vacuo, but tend to regain it on exposure to air. Method B. POCl3 (100 cc.) and 30 g. 2-ethylmercapto-4-hydroxy-6-methylpyrimidine heated 1 hr. on the steam bath, most of the POCl3 removed in vacuo, 200 g. ice and 200 cc. Et2O added to the oil, then NH4OH to pH 8-9, the Et2O layer added to 100 cc. EtOH containing 17 g. KSCN, the Et2O removed, 100 cc. PhMe added, the solution concentrated to 75°, 100 cc. xylene added, the mixture refluxed 2 hrs., filtered warm, and 20 cc. concentrated NH4OH added to the filtrate yielded 18

2-ethylmercapto-6-methyl-4-thioureidopyrimidine (I), m. 235-6°. Powdered I (4.4 g.) in 20 cc. CHCl3 treated during 15 min. with 1 cc. Br in 10 cc. CHCl3 (temperature below 30°), the solution evaporated to dryness on the steam bath, the residue treated 10 min. with 2 g. Na2S2O4 in 50 cc. water, and the oil treated with excess NH3 yielded 4 g. 2-amino-5-ethylmercapto-7-methylthiazolo[4, 5-d]pyrimidine, m. 187-9° (from 50% EtOH).

a.

2-Amino-4-hydroxy-6-phenylpyrimidine (4.7 g.) and 6.2 g. NaSCN, in 200 cc. warm MeOH saturated with NaBr and 50 cc. AcOH, treated at 5° during 20 min. with 1.8 cc. Br in 50 cc. AcOH, the mixture stirred 1 hr. without an ice bath, heated to boiling, 20 cc. hot water added, the hot solution filtered, brought to pH 6 with 10% NH3, and most of the alc. removed in vacuo yielded 4.1 q. 2-amino-4-hydroxy-6-phenyl-5-thiocyanopyrimidine (II), m. 287-9° (decomposition). II (0.5 g.) in 30 cc. 10% NaOH let stand overnight, diluted to 200 cc., filtered, and the filtrate brought to pH 6 with 10% AcOH yielded 100% bis(2-amino-4-hydroxy-6-phenyl-5pyrimidyl) disulfide, pale yellow crystalline precipitate, m. above 300°. For the 5-R-7-R'-disubstituted 2-aminothiazolo[4, 5-d]pyrimidines (prepared by Method A), R, R' m.p., yield (%), and recrystn. solvent are: NH2, Me, 249-50°, 52, EtOH; Me, NH2, above 300°, 63, EtOH; NH2, NH2, above 300°, 75, water; OH, OH, above 310°, 45, water; MeS, NH2, 208-9°, 79, 50% EtOH; NH2, OH, above 310°, 72, water; H, NH2, 245-7°, 54, water. Biologically the compds. show several resemblances to other purine analogs.

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	99.06	278.55
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-14.40	-14.40

STN INTERNATIONAL LOGOFF AT 23:02:35 ON 05 FEB 2008